

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	636	536/1.11	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:17
L2	7	l1 and deoxythymidine	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:27
L3	7	l2 and (process or method or synth\$ or making or production)	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:27
L4	2	l3 and deoxyribose	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:22
L5	1874	536/124	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:21
L6	17	l5 and deoxythymidine	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:21
L7	17	l6 and (process or method or synth\$ or making or production)	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:22
L8	5	l7 and deoxyribose	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:27
L9	5090	deoxythymidine	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:35
L10	4946	l9 and (process or method or synth\$ or making or production)	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:35
L11	952	l10 and deoxyribose	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:44

## EAST Search History

L12	14	l11 and (acyl ADJ halide)	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:44
L13	2	l12 and (thymine NEAR silyl\$)	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:31
L14	17	l11 and (thymine NEAR silyl\$)	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:31
L15	5386	deoxyuridine	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:35
L16	5176	l15 and (process or method or synth\$ or making or production)	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:35
L17	1179	l16 and deoxyribose	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:44
L18	11	l17 and (acyl ADJ halide)	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/09/21 16:44

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LOGINID:

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Welcome to STN International! Enter x:x

LOGINID:sssptal623kxg

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	4	MAY 10	CA/CAPplus enhanced with 1900-1906 U.S. patent records
NEWS	5	MAY 11	KOREAPAT updates resume
NEWS	6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS	7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAPplus and USPATFULL/USPAT2
NEWS	8	MAY 30	The F-Term thesaurus is now available in CA/CAPplus
NEWS	9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS	10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS	11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS	12	JUL 11	CHEMSAFE reloaded and enhanced
NEWS	13	JUL 14	FSTA enhanced with Japanese patents
NEWS	14	JUL 19	Coverage of Research Disclosure reinstated in DWPI
NEWS	15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS	17	AUG 30	CA(SM)/CAPplus(SM) Austrian patent law changes
NEWS	18	SEP 11	CA/CAPplus enhanced with more pre-1907 records
NEWS	19	SEP 21	CA/CAPplus fields enhanced with simultaneous left and right truncation
NEWS EXPRESS		JUNE 30	CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS LOGIN			Welcome Banner and News Items
NEWS IPC8			For general information regarding STN implementation of IPC 8
NEWS X25			X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 13:39:13 ON 21 SEP 2006

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Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> file casreact

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.42

0.42

FILE 'CASREACT' ENTERED AT 13:40:13 ON 21 SEP 2006

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FILE CONTENT:1840 - 17 Sep 2006 VOL 145 ISS 12

New CAS Information Use Policies, enter HELP USAGETERMS for details.

\*\*\*\*\*  
\*  
\* CASREACT now has more than 10 million reactions \*  
\*  
\*\*\*\*\*

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>

Uploading C:\Program Files\Stnexp\Queries\10806296-1.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 13:40:47 FILE 'CASREACT'

SCREENING COMPLETE - 33 REACTIONS TO VERIFY FROM

3 DOCUMENTS

100.0% DONE      33 VERIFIED      1 HIT RXNS  
SEARCH TIME: 00.00.01

1 DOCS

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*  
                             BATCH    \*\*COMPLETE\*\*  
PROJECTED VERIFICATIONS:      316 TO      1004  
PROJECTED ANSWERS:              1 TO        79

L2                    1 SEA SSS SAM L1 (      1 REACTIONS)

=> d l1

L1 HAS NO ANSWERS

L1                    STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

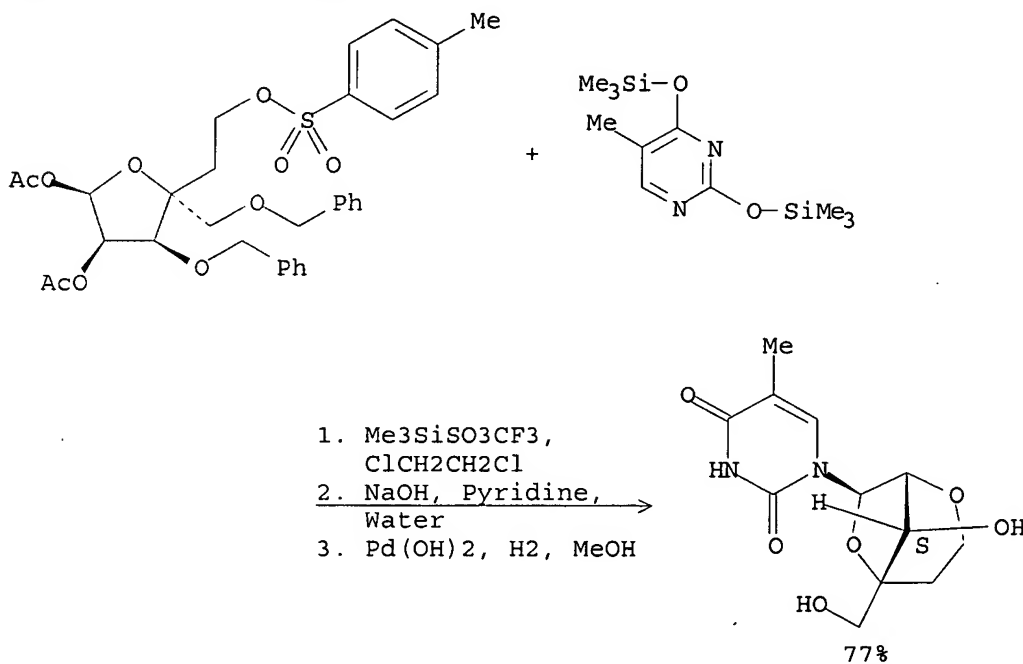
Structure attributes must be viewed using STN Express query preparation.

=> d scan

L2    1 ANSWERS    CASREACT    COPYRIGHT 2006 ACS on STN

TI    2'-O,4'-C-ethylene-bridged nucleic acids (ENA): highly nuclease-resistant  
      and thermodynamically stable oligonucleotides for antisense drug

RX(29) OF 55 - 3 STEPS



NOTE: 1) regioselective

ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss full

FULL SEARCH INITIATED 13:41:28 FILE 'CASREACT'

SCREENING COMPLETE -      1013 REACTIONS TO VERIFY FROM

122 DOCUMENTS

100.0% DONE 1013 VERIFIED  
SEARCH TIME: 00.00.01

90 HIT RXNS

33 DOCS

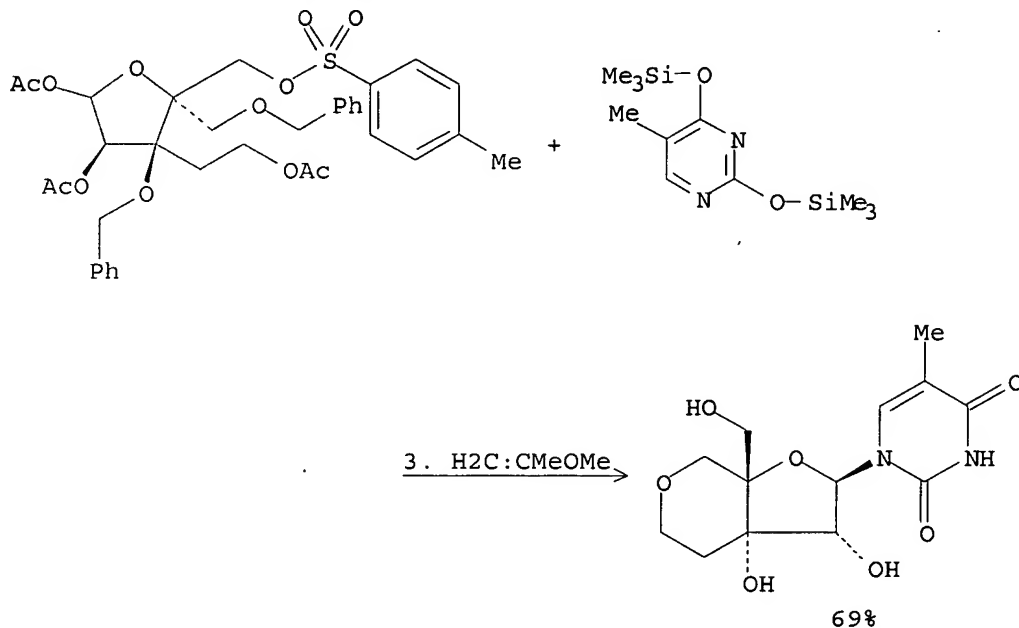
L3 33 SEA SSS FUL L1 ( 90 REACTIONS)

=> d scan

L3 33 ANSWERS CASREACT COPYRIGHT 2006 ACS on STN

TI Synthesis and conformation of a novel bridged nucleoside with S-type sugar  
puckering, trans-3',4'-BNA monomer

RX(82) OF 117 - 7 STEPS



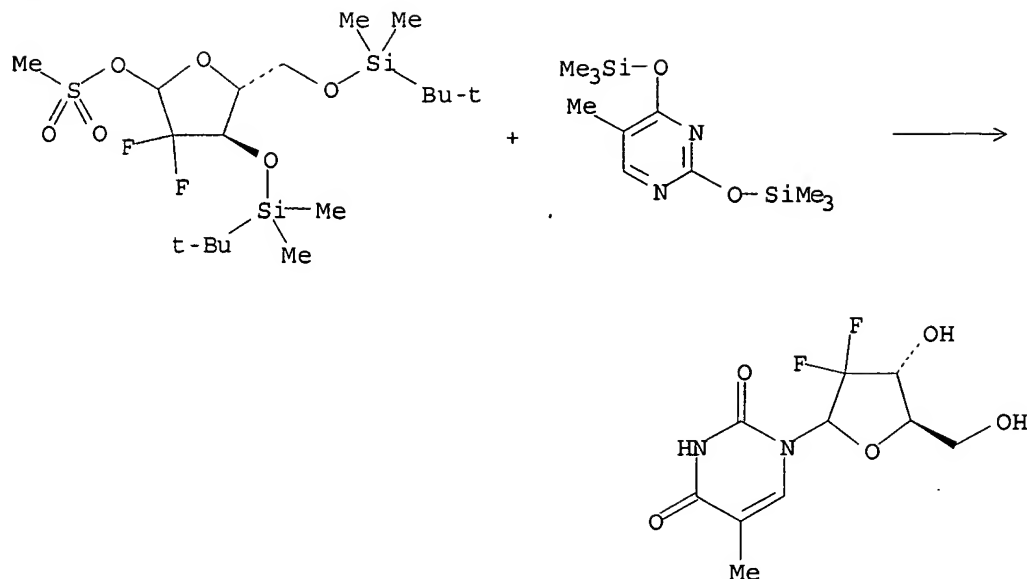
NOTE: 1) stereoselective, 2) stereoselective, 3) stereoselective, 4)  
stereoselective, 5) stereoselective, 6) stereoselective, 7)  
stereoselective

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):  
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 33 ANSWERS CASREACT COPYRIGHT 2006 ACS on STN

TI Nucleosides

RX(1) OF 1

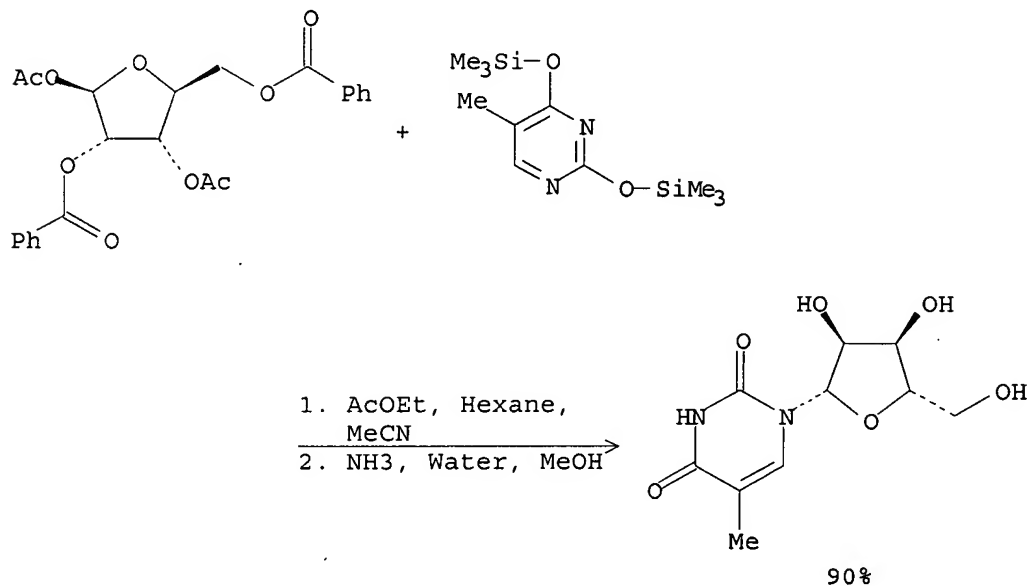


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 33 ANSWERS CASREACT COPYRIGHT 2006 ACS on STN

TI Synthesis of peracylated derivatives of L-ribofuranose from D-ribose and their use for the preparation of β-L-ribonucleosides

RX(36) OF 116 - 2 STEPS



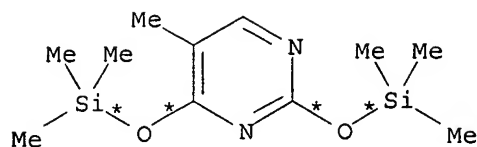
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> dis 13 1-33 fhit bib abs

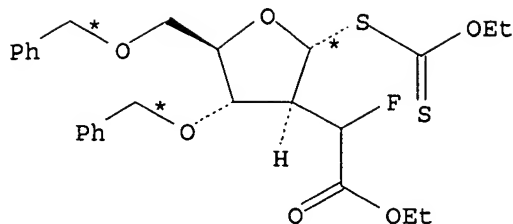
L3 ANSWER 1 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(49) OF 63 COMPOSED OF RX(27), RX(28)

RX(49)      AW + AF ==> BC

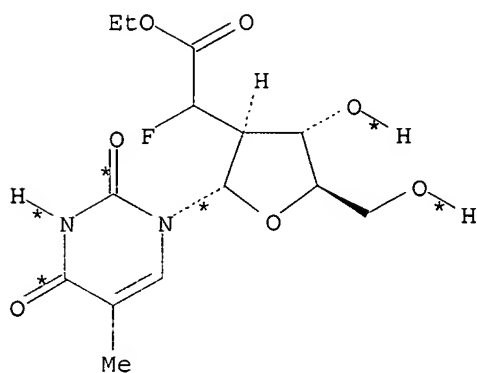


AW



AF

2  
STEPS  
→



BC

YIELD 98%

RX(27)      RCT    AW 7288-28-0, AF 886997-20-2  
               RGT    AH 2923-28-6 AgO3SCF3  
               PRO    BB 886997-57-5  
               SOL    108-88-3 PhMe  
               CON    SUBSTAGE(1) room temperature -> 0 deg C  
                       SUBSTAGE(2) 3 hours, 0 deg C  
               NTE    isomer mix., stereoselective

RX(28)      RCT    BB 886997-57-5  
               RGT    BD 1333-74-0 H2  
               PRO    BC 886997-60-0  
               CAT    7440-05-3 Pd  
               SOL    64-17-5 EtOH  
               CON    18 hours, room temperature

AN    144:412819 CASREACT

TI    Synthesis of 2,3-trans Di-Substituted Tetrahydrofurans through Sequential Xanthate Radical Addition-Substitution Reactions

AU    Jean-Baptiste, Laetitia; Yemets, Sergiy; Legay, Remi; Lequeux, Thierry

CS    Laboratoire de Chimie Moleculaire et Thioorganique, UMR CNRS 6507, ENSICAEN-Universite de Caen, Caen, F-14050, Fr.

SO    Journal of Organic Chemistry (2006), 71(6), 2352-2359

CODEN: JOCEAH; ISSN: 0022-3263

PB    American Chemical Society

DT    Journal

LA English

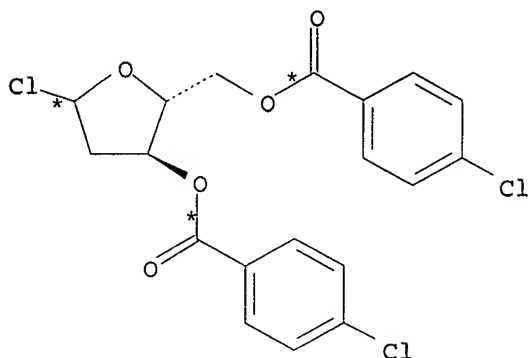
AB A two-step preparation of 2,3-trans disubstituted THF derivs. is reported from S-alkyl dithio-carbonates. The study of the group transfer reaction from xanthate and alkenes afforded intermediate S-alkyl dithio-carbonates. From 2,3-dihydro-furan derivs., the displacement of the resulting anomeric xanthate with various nucleophiles in the presence of Lewis acid allowed the formation of new carbon-carbon and carbon-heteroatom bonds. This strategy was illustrated by a two-step synthesis of a precursor of modified 2'-β-C-branched nucleoside analogs.

RE.CNT 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

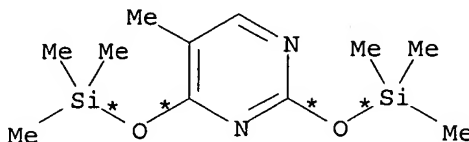
L3 ANSWER 2 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(11) OF 21 COMPOSED OF RX(5), RX(6)

RX(11) M + O ==> R



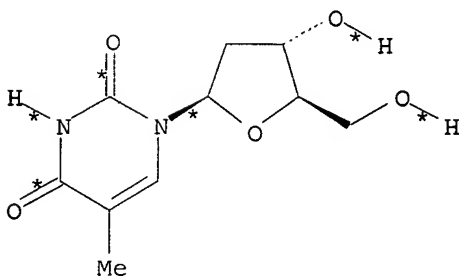
M



O

2

STEPS  
→



R

RX(5) RCT M 3601-90-9, O 7288-28-0

STAGE(1)

SOL 67-66-3 CHCl3

CON 3 - 4 hours, 65 - 70 deg C

## STAGE(2)

SOL 7732-18-5 Water, 67-66-3 CHCl<sub>3</sub>  
CON 35 deg C

PRO P 4449-32-5

RX(6) RCT P 4449-32-5  
RGT S 124-41-4 NaOMe  
PRO R 50-89-5  
SOL 67-56-1 MeOH  
CON 5 - 6 hours, 65 - 70 deg C

AN 143:153656 CASREACT

TI Method for preparing  $\beta$ -thymidine

IN Bao, Jianshao

PA Lianhua Sci-Tech Co., Ltd., Zhejiang, Peop. Rep. China

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp. given

CODEN: CNXXEV

DT Patent

LA Chinese

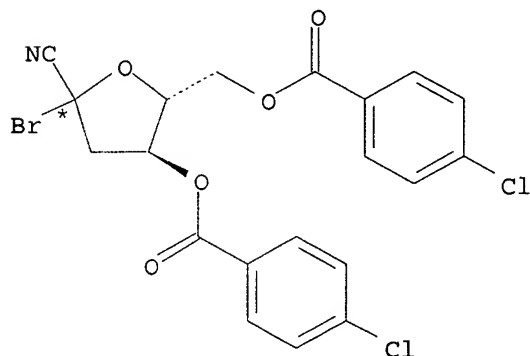
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	CN 1539845	A	20041027	CN 2003-116591	20030424
PRAI	CN 2003-116591		20030424		
AB	A multistep process for preparing $\beta$ -thymidine is disclosed.				

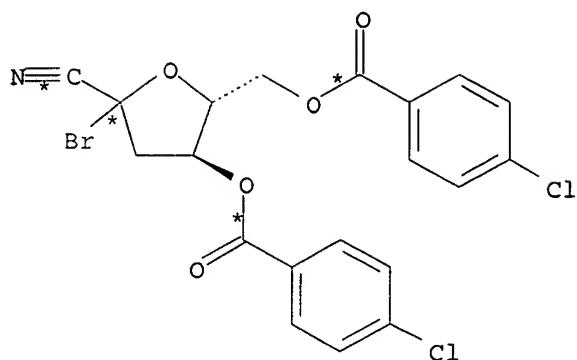
L3 ANSWER 3 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(28) OF 44 COMPOSED OF RX(4), RX(5), RX(6)

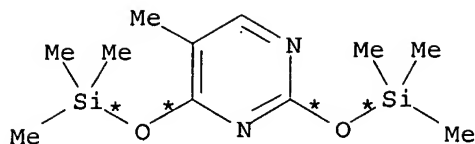
RX(28) 2 N + 2 R + Z ==&gt; AE



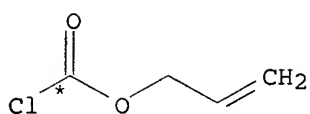
N



N

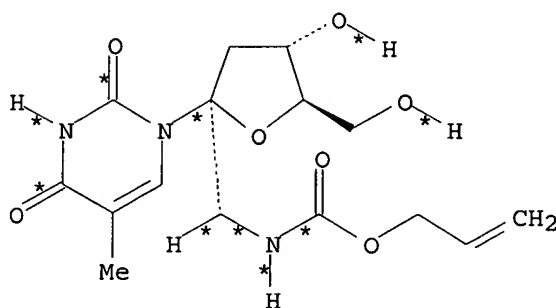


2 R



Z

3  
STEPS  
→



AE  
YIELD 91%

RX(4) RCT N 357282-00-9, R 7288-28-0

STAGE(1)

SOL 75-05-8 MeCN

CON 30 minutes, room temperature

STAGE(2)

RGT U 592-04-1 Hg(CN)<sub>2</sub>

SOL 75-05-8 MeCN

CON 3 days, room temperature

STAGE(3)

RGT V 7681-11-0 KI, W 7647-14-5 NaCl

SOL 7732-18-5 Water, 67-66-3 CHCl<sub>3</sub>

PRO S 800387-63-7, T 800387-68-2

NTE in-situ generated reagent, stereoselective

RX(5) RCT T 800387-68-2

STAGE(1)

RGT AB 76-05-1 F<sub>3</sub>CCO<sub>2</sub>H, AC 16940-66-2 NaBH<sub>4</sub>

SOL 109-99-9 THF

CON SUBSTAGE(1) room temperature

SUBSTAGE(2) 12 hours, room temperature

STAGE(2)

SOL 7732-18-5 Water

CON room temperature

STAGE(3)

RCT Z 2937-50-0

CON 24 hours, room temperature

PRO AA 800387-64-8

RX(6) RCT AA 800387-64-8

STAGE(1)

RGT AF 124-41-4 NaOMe

SOL 67-56-1 MeOH

CON 6 hours, room temperature

STAGE(2)

RGT AG 11114-15-1 DOWEX 50W

CON SUBSTAGE(1) room temperature, neutralized

SUBSTAGE(2) 30 minutes, room temperature

PRO AE 800387-65-9

NTE Dowex 50w (H+) used

AN 142:38462 CASREACT

TI Synthesis of a 1'-Aminomethylthymidine and Oligodeoxyribonucleotides with 1'-Acylamidomethylthymidine Residues

AU Gruenefeld, Peter; Richert, Clemens

CS Institute for Organic Chemistry, University of Karlsruhe (TH), Karlsruhe, D-76131, Germany

SO Journal of Organic Chemistry (2004), 69(22), 7543-7551

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

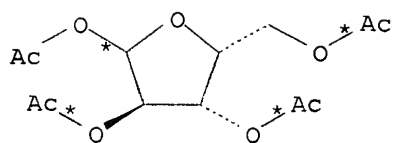
AB Reported here is a 10-step synthesis of a phosphoramidite building block of 1'-aminomethylthymidine that starts from 2-deoxyribose. The framework of the branched aminonucleoside was elaborated from a known 1-cyano-1-bromo glycosyl donor, whose reaction with the silylated nucleobase furnished the 1'-cyanide, which was reduced to the desired aminomethylnucleoside. The N-allyloxycarbonyl (Alloc)-protected nucleoside was converted to a phosphoramidite building block and incorporated into the oligonucleotides 5'-GCAT\*TATTAC-3', and 5'-GCAT\*TAT\*TAC-3', where T\* denotes 1'-acylamidomethylthymidine residues. Removal of the Alloc protecting group and acylation with the residue of pyrene-1-yl-butanoic acid were achieved on support, using microwave irradiation to ensure full conversion. The UV-m.p. of the duplex of the singly and doubly modified decamers with their fully complementary target sequence is 0.1-6.9 °C higher than that of the unmodified control duplex, depending on the salt concentration. This suggests that the aminomethyl linker may allow for the placing of a functional "payload" in the minor groove of DNA duplexes without disrupting the helix. Oligonucleotides thus endowed with functional modifications may become useful for biomedical applications.

RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD

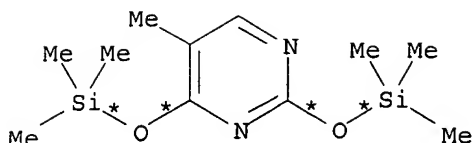
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(1) OF 21 A + B ==> C...

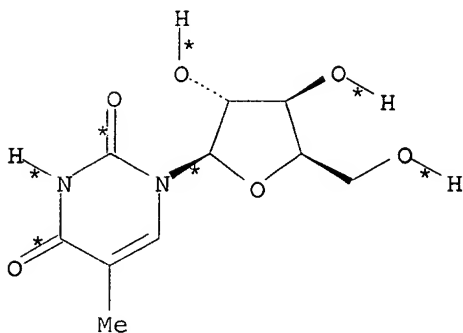


A



B

(1)  $\longrightarrow$



C

YIELD 75%

RX(1) RCT A 42927-46-8, B 7288-28-0

STAGE(1)

RGT D 7646-78-8 SnCl<sub>4</sub>

SOL 75-09-2 CH<sub>2</sub>Cl<sub>2</sub>

CON 18 hours, room temperature

STAGE(2)

RGT E 144-55-8 NaHCO<sub>3</sub>

SOL 7732-18-5 Water

CON room temperature

STAGE(3)

RGT F 7440-23-5 Na

SOL 67-56-1 MeOH

CON 8 hours, room temperature

STAGE(4)

RGT G 9002-23-7 Amberlite IR20

CON room temperature

PRO C 52486-19-8

NTE stereoselective

AN 140:339576 CASREACT

TI An improved process for the preparation of  $\beta$ -thymidine via intramolecular cyclocondensation of xylothymidine using dialkyl or diarylcarbonates as condensation agents

IN Rao, Alla Venkata Rama; Gurjar, Mukund Keshavo; Lalitha, Sista Venkata Sai

PA Council of Scientific and Industrial Research, India

SO Indian, 17 pp.

CODEN: INXXAP

DT Patent

LA English

FAN.CNT 1

PATENT NO.

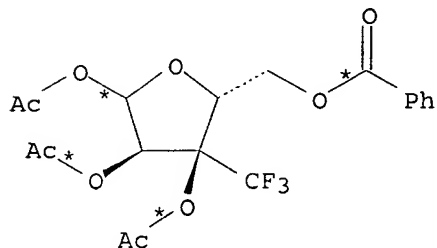
KIND DATE

APPLICATION NO. DATE

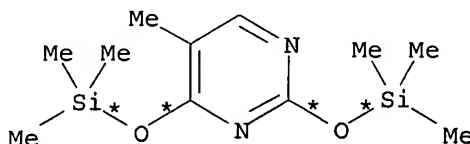
PI IN 184296 A 20000729 IN 1994-DE344 19940329  
 PRAI IN 1994-DE344 19940329  
 OS MARPAT 140:339576  
 AB An improved process for the preparation of  $\beta$ -thymidine via  
 cyclocondensation of deprotected xylothymidine using condensation agent  
 dialkyl or diarylcarbonate in the presence of an alkali base and polar  
 non-protic organic solvent to yield 2,2'-anhydrothymidine.

L3 ANSWER 5 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(4) OF 9 K + P ==> Q

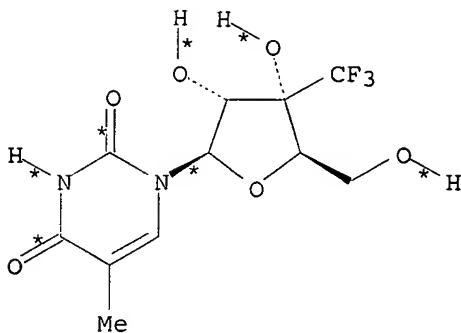


K



P

(4)  $\rightarrow$



Q

RX(4) RCT K 679400-72-7, P 7288-28-0

STAGE(1)

CAT 27607-77-8 Me3SiSO3CF3  
 SOL 75-05-8 MeCN

STAGE(2)

RGT C 7664-41-7 NH3  
 SOL 67-56-1 MeOH  
 CON room temperature

PRO Q 162894-35-1

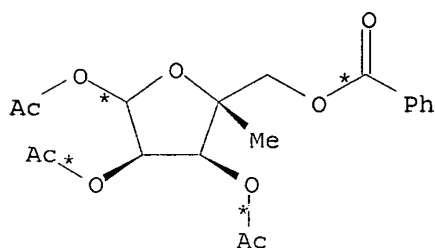
NTE stereoselective, literature procedure used

AN 140:339565 CASREACT

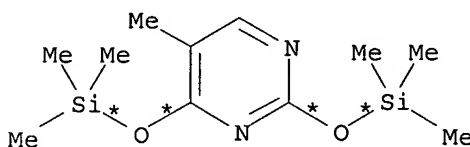
TI Synthesis and Studies of 3'-C-Trifluoromethyl- $\beta$ -D-ribonucleosides  
 Bearing the Five Naturally Occurring Nucleic Acid Bases  
 AU Jeannot, Frederic; Gosselin, Gilles; Mathe, Christophe  
 CS Laboratoire de Chimie Organique Biomoleculaire de Synthese, Montpellier,  
 Fr.  
 SO Nucleosides, Nucleotides & Nucleic Acids (2003), 22(12), 2195-2202  
 CODEN: NNNAFY; ISSN: 1525-7770  
 PB Marcel Dekker, Inc.  
 DT Journal  
 LA English  
 AB 3'-C-Trifluoromethyl- $\beta$ -D-ribonucleoside derivs. bearing the five  
 naturally occurring nucleic acid bases have been synthesized. All these  
 derivs. were prepared by glycosylation reactions of purine and pyrimidine  
 bases with a suitable peracylated 3-C-trifluoromethyl ribofuranose  
 precursor. After deprotection, the resulting title nucleoside analogs  
 were tested for their inhibitory properties against the replication of  
 HIV, HBV and several RNA viruses. However, none of these compds. showed  
 significant antiviral activity.  
 RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(4) OF 26 ...C + J ==> K

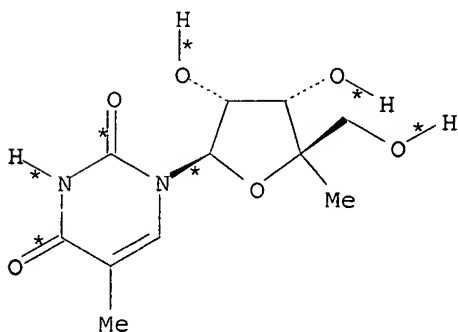


C



J

(4)  $\rightarrow$



K

RX(4) RCT C 503543-44-0, J 7288-28-0

STAGE(1)  
CON room temperature

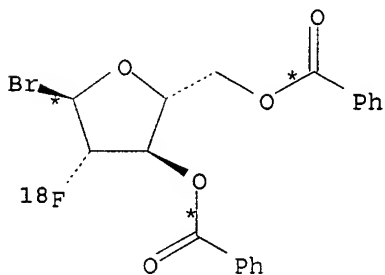
STAGE(2)  
RGT F 7664-41-7 NH3  
SOL 67-56-1 MeOH  
CON room temperature

PRO K 152540-75-5  
NTE reactant assumed

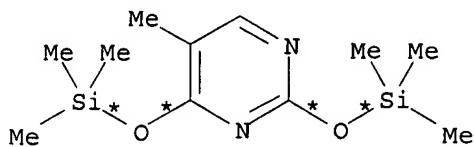
AN 140:199580 CASREACT  
TI 4'-C-Methyl- $\beta$ -D-ribofuranosyl Purine and Pyrimidine Nucleosides  
Revisited  
AU Griffon, J.-F.; Dukhan, D.; Pierra, C.; Benzaria, S.; Loi, A. G.; La  
Colla, P.; Sommadossi, J.-P.; Gosselin, G.  
CS Laboratoire Cooperatif Idenix-CNRS, Universite Montpellier II,  
Montpellier, F-34095, Fr.  
SO Nucleosides, Nucleotides & Nucleic Acids (2003), 22(5-8), 707-709  
CODEN: NNNAPY; ISSN: 1525-7770  
PB Marcel Dekker, Inc.  
DT Journal  
LA English  
AB In order to evaluate their antiviral properties, a series of  
4'-C-methyl- $\beta$ -D-ribofuranosyl purine and pyrimidine nucleosides has  
been prepared Unfortunately, none of these 4'-branched nucleosides showed  
any antiviral activity or cytotoxicity when tested against HIV, HBV, and  
Yellow Fever virus.  
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 33 CASREACT COPYRIGHT 2006 ACS on STN .

RX(17) OF 31 COMPOSED OF RX(4), RX(8)  
RX(17) 2 G + 2 P ==> AD + AE

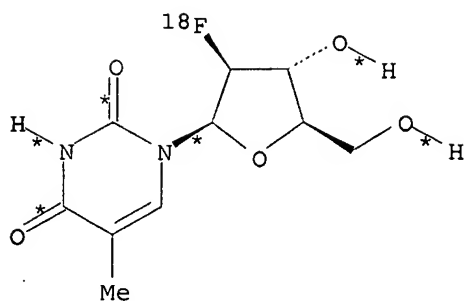


2 G

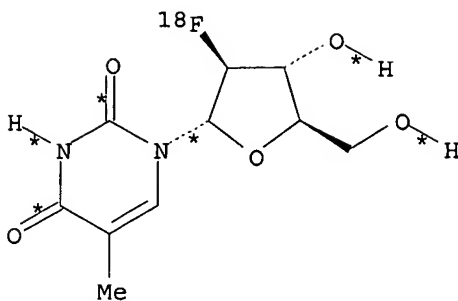


2 P

2  
STEPS  
→



AD



AE

RX(4) RCT G 380203-69-0, P 7288-28-0  
RGT N 25561-30-2 Me3SiN:C(CF3)OSiMe3  
PRO Q 380203-72-5, R 472987-06-7  
SOL 67-66-3 CHCl3  
CON 30 minutes, 150 deg C  
NTE 80 % overall yield%

RX(8) RCT Q 380203-72-5, R 472987-06-7  
RGT AA 124-41-4 NaOMe  
PRO AD 241144-93-4, AE 472987-07-8  
SOL 75-05-8 MeCN, 67-56-1 MeOH  
CON 10 minutes, 20 deg C  
NTE 90 % overall yield%

AN 140:199556 CASREACT

TI Synthesis of 2'-deoxy-2'-[18F]fluoro-β-D-arabinofuranosyl nucleosides, [18F]FAU, [18F]FMAU, [18F]FBAU and [18F]FIAU, as potential PET agents for imaging cellular proliferation synthesis of [18F]labeled FAU, FMAU, FBAU, FIAU

AU Mangner, Thomas J.; Klecker, Raymond W.; Anderson, Lawrence; Shields, Anthony F.

CS Children's Hospital of Michigan, PET Center, Wayne State University, Detroit, MI, 48201, USA

SO Nuclear Medicine and Biology (2003), 30(3), 215-224  
CODEN: NMBIEO; ISSN: 0969-8051

PB Elsevier Science Inc.

DT Journal

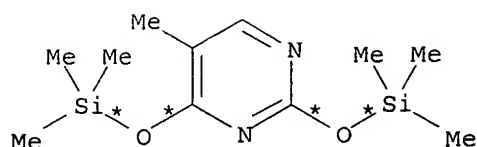
LA English

AB An efficient and reliable synthesis of 2'-deoxy-2'-[18F]fluoro-β-D-arabinofuranosyl nucleosides is presented. Overall decay-corrected radiochem. yields of 35-45% of 4 analogs, FAU, FMAU, FBAU and FIAU are routinely obtained in >98% radiochem. purity and with specific activities of greater than 3 Ci/μmol (110 MBq/μmol) in a synthesis time of approx. 3 h. When approx. 220 mCi (8.15 GBq) of starting [18F]fluoride is used, 25 -30 mCi (0.93 -1.11 GBq) of product (enough to image two patients sequentially) is typically obtained.

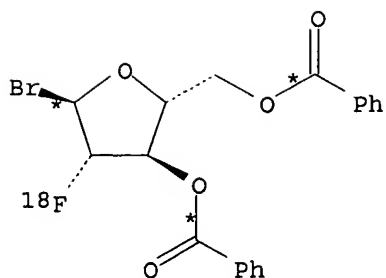
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(4) OF 5 K + A ==> L

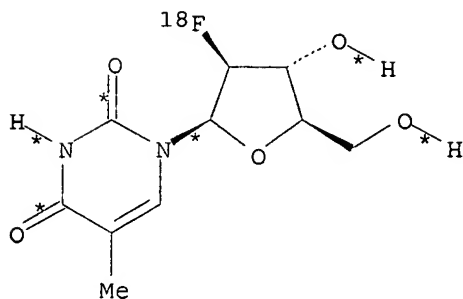


K



A

(4) →



L

RX(4) RCT K 7288-28-0, A 380203-69-0

STAGE(1)

SOL 107-06-2 ClCH<sub>2</sub>CH<sub>2</sub>Cl

CON 60 minutes, 100 deg C

STAGE(2)

RGT D 124-41-4 NaOMe

SOL 67-56-1 MeOH

CON 5 minutes, reflux

PRO L 241144-93-4

NTE stereoselective

AN 139:180283 CASREACT

TI A general synthesis of 2'-deoxy-2'-[18F]fluoro-1-β-D-arabinofuranosyluracil and its 5-substituted nucleosides

AU Alauddin, Mian M.; Conti, Peter S.; Fissekis, John D.

CS PET Imaging Science Center, University of Southern California, Los Angeles, CA, 90033, USA

SO Journal of Labelled Compounds & Radiopharmaceuticals (2003), 46(4), 285-289

CODEN: JLCRD4; ISSN: 0362-4803

PB John Wiley & Sons Ltd.

DT Journal

LA English

AB Several 2'-deoxy-2'-[18F]fluoro-1-β-D-arabinofuranosyluracil derivs. have been synthesized. Coupling of 1-bromo-2-deoxy-2-[18F]fluoro-3,5-di-O-benzoyl-α-D-arabinofuranose with protected uracil derivs. followed by hydrolysis and HPLC purification produced the radio-labeled nucleosides in 15-30% yield (d.c.), >99% radiochem. purity and 55.5-103.6 GBq/μmol specific activities.

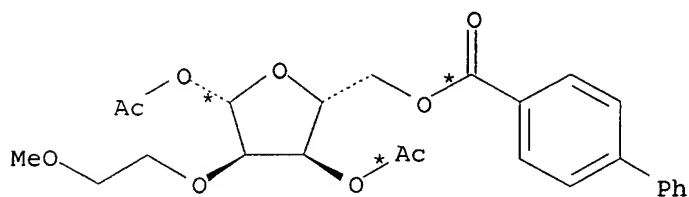
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

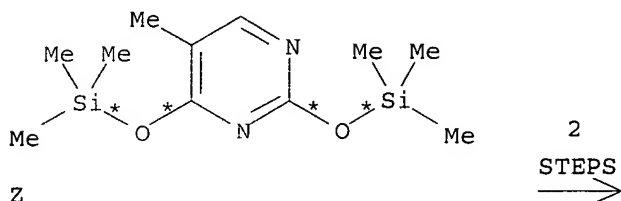
L3 ANSWER 9 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(13) OF 28 COMPOSED OF RX(6), RX(7)

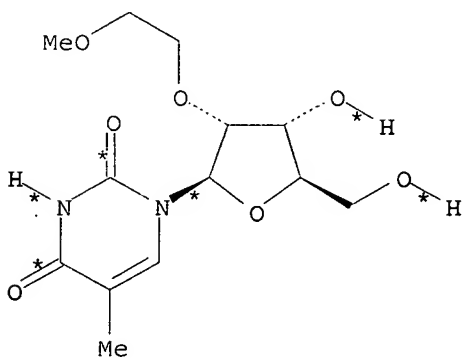
RX(13) S + Z ==> AD



S



Z



AD

YIELD 91%

RX(6) RCT S 553664-33-8, Z 7288-28-0  
 RGT AB 7772-99-8 SnCl<sub>2</sub>  
 PRO AA 553664-34-9  
 SOL 75-05-8 MeCN  
 CON 5 hours, reflux

RX(7) RCT AA 553664-34-9

STAGE(1)

RGT AE 124-41-4 NaOMe  
 SOL 67-56-1 MeOH  
 CON 1 hour, room temperature

## STAGE(2)

RGT M 64-19-7 AcOH

SOL 7732-18-5 Water

CON room temperature, neutralized

PRO AD 163759-49-7

AN 139:85578 CASREACT

TI A new access to 2'-O-(2-methoxyethyl)ribonucleosides starting from D-glucose

AU Martin, Pierre

CS Functional Genomics, Novartis Pharma AG, Basel, CH-4002, Switz.

SO Helvetica Chimica Acta (2003), 86(1), 204-209

CODEN: HCACAV; ISSN: 0018-019X

PB Verlag Helvetica Chimica Acta

DT Journal

LA German

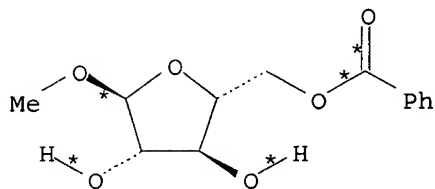
AB A new synthesis of 2'-O-(2-methoxyethyl)ribonucleosides, building blocks for second-generation antisense oligonucleotides, starting from D-glucose is presented. The key-step is the transformation of 3-O-methoxyethylallofuranose to 2-O-(2-methoxyethyl)ribose by NaIO<sub>4</sub> oxidation. Together with the 4'-phenylbenzoyl protecting group, which results in crystalline intermediates, this synthesis provides an easy and cheap access to 2'-O-(2-methoxyethyl)-substituted ribonucleosides.

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

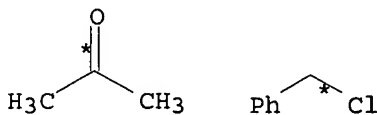
L3 ANSWER 10 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(88) OF 249 COMPOSED OF RX(2), RX(3), RX(4), RX(5), RX(14), RX(16)

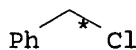
RX(88) C + I + K + U + Y ==&gt; BA



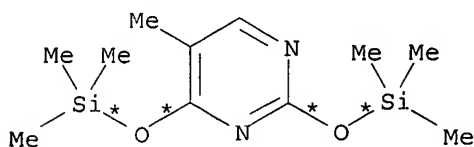
C



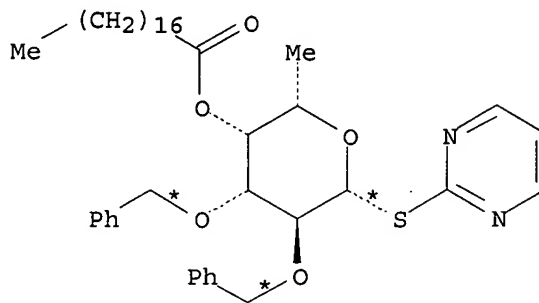
I



K



U



Y

6

STEPS  
→

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

RX(2) RCT C 201348-41-6, I 67-64-1

STAGE(1)

RGT D 7647-01-0 HCl  
SOL 67-64-1 Me<sub>2</sub>CO, 7732-18-5 Water  
CON 24 hours, room temperature

STAGE(2)

RGT E 144-55-8 NaHCO<sub>3</sub>  
SOL 7732-18-5 Water  
CON room temperature

STAGE(3)

SOL 7732-18-5 Water  
CON room temperature

PRO J 5460-57-1  
NTE stereoselective

RX(3) RCT J 5460-57-1, K 100-44-7

STAGE(1)

RGT M 1310-58-3 KOH  
SOL 108-88-3 PhMe  
CON 4 hours, reflux

STAGE(2)

SOL 75-09-2 CH<sub>2</sub>Cl<sub>2</sub>

PRO L 131139-02-1

RX(4) RCT L 131139-02-1

STAGE(1)

RGT P 64-19-7 AcOH  
SOL 7732-18-5 Water  
CON 3 hours, reflux

STAGE(2)

RGT Q 98-59-9 TsCl, R 584-08-7 K<sub>2</sub>CO<sub>3</sub>  
SOL 110-86-1 Pyridine  
CON 12 hours, room temperature

STAGE(3)

RGT S 865-47-4 t-BuOK  
SOL 109-99-9 THF  
CON 20 minutes, room temperature

PRO O 191543-69-8  
NTE stereoselective

RX(5) RCT O 191543-69-8, U 7288-28-0

STAGE(1)

SOL 75-09-2 CH<sub>2</sub>Cl<sub>2</sub>  
CON 8 hours, room temperature

STAGE(2)

RGT W 64-18-6 HCO<sub>2</sub>H  
CON 10 minutes, room temperature

STAGE(3)  
SOL 75-09-2 CH2Cl2

STAGE(4)  
RGT E 144-55-8 NaHCO3  
SOL 7732-18-5 Water

PRO V 201348-48-3  
NTE stereoselective, mol. sieves used

RX(14) RCT Y 201348-64-3, V 201348-48-3

STAGE(1)  
RGT AA 27607-77-8 Me3SiSO3CF3  
SOL 75-09-2 CH2Cl2  
CON 3 hours, room temperature

STAGE(2)  
RGT AB 121-44-8 Et3N  
CON room temperature

PRO AX 201348-65-4  
NTE stereoselective

RX(16) RCT AX 201348-65-4  
RGT BB 1333-74-0 H2  
PRO BA 201348-36-9  
CAT 7440-05-3 Pd  
SOL 67-56-1 MeOH, 141-78-6 AcOEt  
CON 24 hours, room temperature, 1 atm

AN 138:385654 CASREACT

TI A new and efficient strategy for the synthesis of shimofuridin analogs:  
2'-O-(4-O-stearoyl- $\alpha$ -L-fucopyranosyl)thymidine and -uridine

AU Ning, Jun; Xing, Ying; Kong, Fanzuo

CS Research Center for Eco-Environmental Sciences, Chinese Academy of  
Sciences, Beijing, 100085, Peop. Rep. China

SO Carbohydrate Research (2003), 338(1), 55-60  
CODEN: CRBRAT; ISSN: 0008-6215

PB Elsevier Science Ltd.

DT Journal

LA English

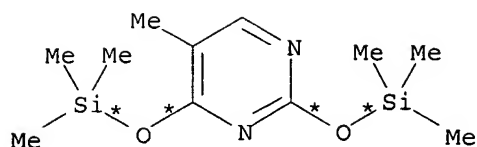
AB Two shimofuridin analogs: 2'-O-(4-O-stearoyl- $\alpha$ -L-fucopyranosyl)thymidine and -uridine have been synthesized using d-arabinose, L-fucose, thymine, uracil, and stearoyl chloride as the starting materials. The synthetic procedures involve the facile preparation of 1-(3,5-di-O-benzyl- $\beta$ -D-ribofuranosyl)thymine and -uracil by coupling of 1,2-anhydro-3,5-di-O-benzyl- $\alpha$ -D-ribofuranose with silylated thymine and uracil, and then stereoselective formation of the 1,2-cis ( $\alpha$ ) interglycoside bonds through condensation of the nucleoside derivs. with 2-(2,3-di-O-benzyl-4-O-stearoyl- $\beta$ -L-fucopyranosylsulfonyl) pyrimidine. The 1,2-anhydro-3,5-di-O-benzyl- $\alpha$ -D-ribofuranose was prepared by an improved procedure from D-arabinose.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

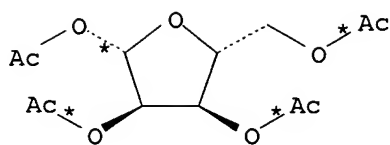
L3 ANSWER 11 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(10) OF 36 COMPOSED OF RX(2), RX(3)

RX(10) C + E ==> I

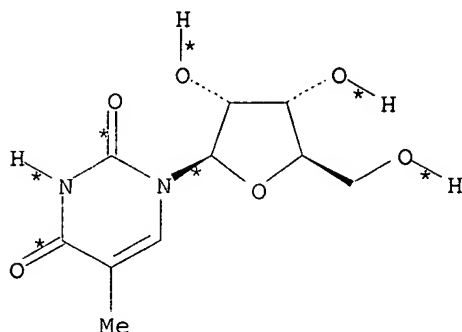


C



E

2  
STEPS  
→



I

YIELD 84%

RX(2) RCT C 7288-28-0, E 13035-61-5  
RGT G 7646-78-8 SnCl<sub>4</sub>  
PRO F 4336-39-4  
SOL 75-09-2 CH<sub>2</sub>Cl<sub>2</sub>  
CON SUBSTAGE(1) room temperature -> 0 deg C  
SUBSTAGE(2) 0 deg C -> room temperature  
SUBSTAGE(3) 12 hours, room temperature

RX(3) RCT F 4336-39-4  
RGT J 7664-41-7 NH<sub>3</sub>  
PRO I 1463-10-1  
SOL 67-56-1 MeOH  
CON room temperature

AN 138:73449 CASREACT

TI Synthesis of Stavudine

AU Jin, Li-ren; Jiang, Hong-ping; Hou, Peng-yi

CS Dept. of Chem., Xiamen Univ., Xiamen, 361005, Peop. Rep. China

SO Xiamen Daxue Xuebao, Ziran Kexueban (2002), 41(2), 207-210

CODEN: HMMHAF; ISSN: 0438-0479

PB Xiamen Daxue

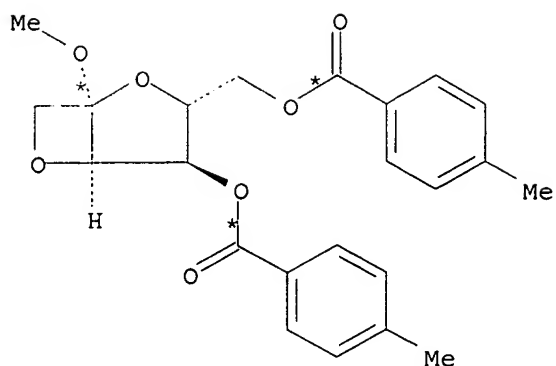
DT Journal

LA Chinese

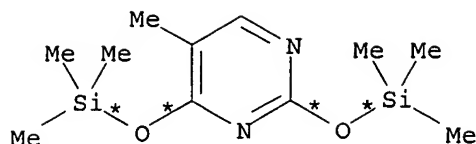
AB Title compound, synthesized from ribofuranose tetraacetate and thymine via the intermediate 5-methyluridine, was described. Ribofuranose tetraacetate was condensed with bis(trimethylsilyl)thymine followed by deacylation to give 5-methyluridine, then converted to 2',3'-olefinic nucleoside by reductive elimination of 2'-bromo-3'-mesylyc ester. The final product was obtained from deprotection of the hydroxy group with total yield 46.4%.

L3 ANSWER 12 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(13) OF 74 ...AD + AB ==> AE

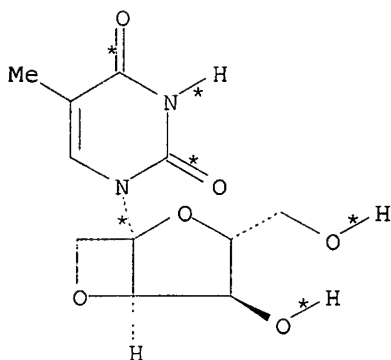


AD



AB

(13)  $\rightarrow$



AE

YIELD 64%

RX(13) RCT AD 478488-01-6, AB 7288-28-0

STAGE(1)

RGT T 7646-78-8 SnCl<sub>4</sub>

SOL 75-05-8 MeCN

CON 18 hours, reflux

STAGE(2)

RGT AF 7664-41-7 NH<sub>3</sub>

SOL 7732-18-5 Water

CON 72 hours, 20 deg C

PRO AE 324760-41-0

NTE stereoselective

AN 138:39492 CASREACT

TI Synthesis of anhydro psicofuranosyl nucleosides

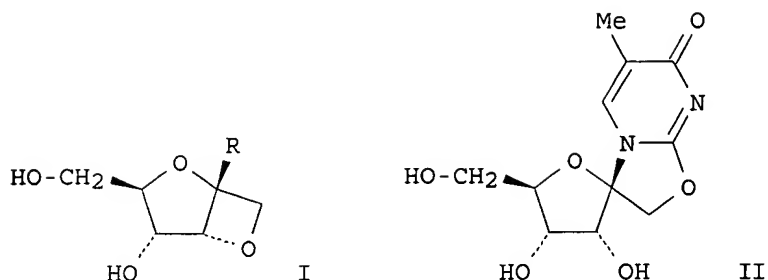
AU Roivainen, Jarkko; Vepsäläinen, Jouko; Azhayev, Alex; Mikhailopulo, Igor A.

CS Department of Pharmaceutical Chemistry, University of Kuopio, Kuopio, FIN-70211, Finland

SO Tetrahedron Letters (2002), 43(37), 6553-6555

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 GI

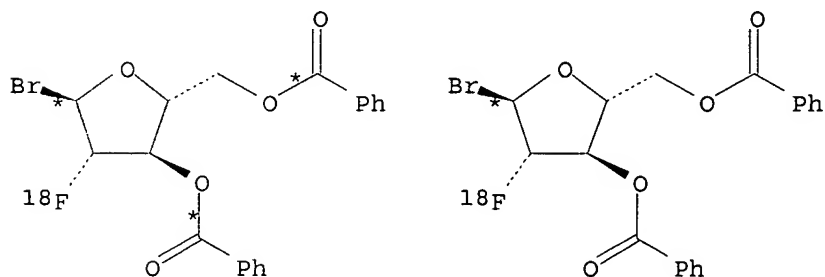


AB Novel rigid nucleosides I (R = Adenine or Thymine) and II were synthesized using chiral synthon Me 1-O-mesyl-5-O-toluoyl- $\beta$ -D-psicofuranoside, prepared from known 1,3:4,5-di-O-isopropylidene- $\beta$ -D-psicofuranose in four steps. The key step involves coupling of persilylated nucleobases to the anhydrofuranoside. Using this method, 1',4'- and O2,1-anhydro- $\beta$ -D-psicofuranosyl thymine nucleosides were also obtained.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

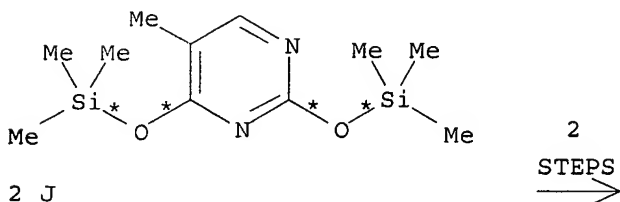
L3 ANSWER 13 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(8) OF 14 COMPOSED OF RX(3), RX(4)  
 RX(8) 2 F + 2 J ==> M

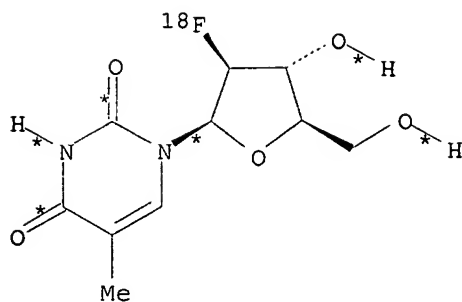


F

F



2 J



M

RX(3) RCT F 380203-69-0, J 7288-28-0  
 PRO K 380203-72-5, L 472987-06-7  
 SOL 107-06-2 ClCH2CH2Cl

RX(4) RCT K 380203-72-5

STAGE(1)

RGT N 124-41-4 NaOMe  
 SOL 67-56-1 MeOH

STAGE(2)

RGT O 7647-01-0 HCl  
 SOL 67-56-1 MeOH

PRO M 241144-93-4

AN 137:311140 CASREACT

TI Synthesis of [18F]-labeled 2'-deoxy-2'-fluoro-5-methyl-1-β-D-arabinofuranosyluracil ([18F]-FMAU)

AU Alauddin, Mian M.; Conti, Peter S.; Fissekis, John D.

CS PET Imaging Science Center, University of Southern California, Los Angeles, CA, 90033, USA

SO Journal of Labelled Compounds & Radiopharmaceuticals (2002), 45(7), 583-590

CODEN: JLCRD4; ISSN: 0362-4803

PB John Wiley & Sons Ltd.

DT Journal

LA English

AB Synthesis of 2'-deoxy-2'-[18F]fluoro-5-methyl-1-β-D-arabinofuranosyluracil ([18F]-FMAU) is reported. 2-Deoxy-2-[18F]fluoro-1,3,5-tri-O-benzoyl-α-D-arabinofuranose was prepared by the reaction of the resp. triflate with tetrabutylammonium[18F]fluoride. The fluorosugar was converted to its 1-bromo-derivative and coupled with protected thymine. The crude product mixture was hydrolyzed in base and purified by HPLC to obtain the radiolabeled FMAU (I). The radiochem. yield of I was 20-30% decay corrected (d.c.) in four steps with an average of 25% in four runs.

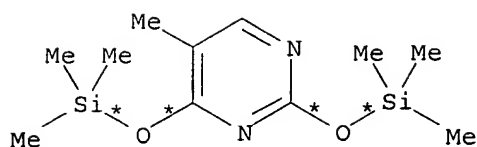
Radiochem. purity was >99% and average specific activity was 2300 mCi/μmol at the end of synthesis (EOS). The synthesis time was 3.5-4.0h from the end of bombardment (EOB).

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

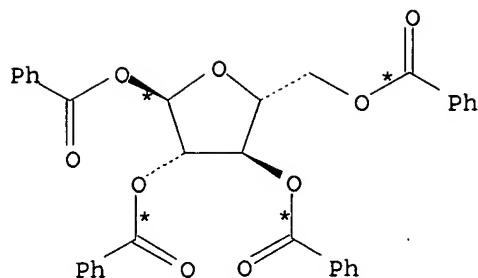
L3 ANSWER 14 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(8) OF 15 COMPOSED OF RX(1), RX(5)

RX(8) 2 A + 2 B ==> N + O

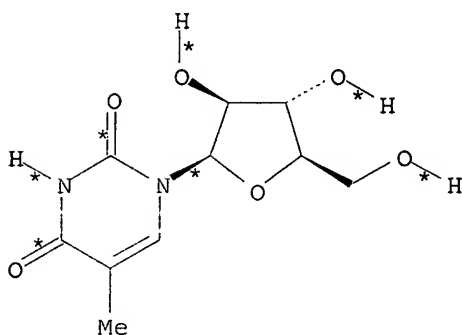


2 A

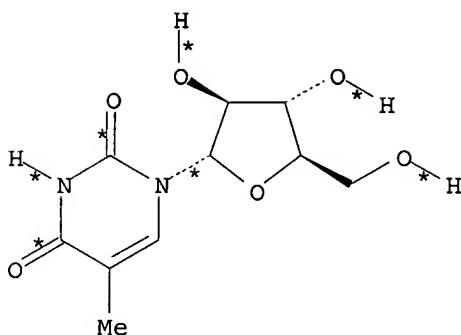


2 B

2  
STEPS  
→



N



O

RX(1) RCT A 7288-28-0, B 97747-01-8  
RGT E 7646-78-8 SnCl<sub>4</sub>  
PRO C 461640-11-9, D 80393-99-3  
SOL 75-05-8 MeCN  
NTE 76% overall yield, alternative reaction conditions shown

RX(5) RCT C 461640-11-9, D 80393-99-3  
RGT P 124-41-4 NaOMe  
PRO N 605-23-2, O 4348-74-7  
SOL 67-56-1 MeOH

AN 137:263262 CASREACT

TI Synthesis of 2'-deoxy-2'-fluoro-1-β-D-arabinofuranosyl uracil derivatives: a method suitable for preparation of [18F]-labeled nucleosides

AU Alauddin, Mian M.; Conti, Peter S.; Fissekis, John D.; Watanabe, Kyoihci A.

CS PET Imaging Science Center, University of Southern California, Los Angeles, CA, 90033, USA

SO Synthetic Communications (2002), 32(11), 1757-1764  
CODEN: SYNCAV; ISSN: 0039-7911

PB Marcel Dekker, Inc.

DT Journal

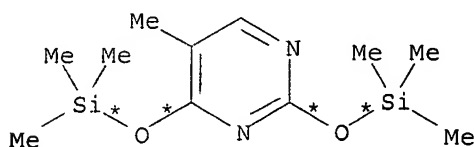
LA English

AB N-glycosylation of 2,4-bis-O-(trimethylsilyl)-pyrimidine bases with 2-deoxy-2-fluoro-3,5-di-O-benzoyl-1-(Br, OBz)-α-D-arabinose derivs. are reported. 1-Bromo-arabinose provides high yield and a favorable

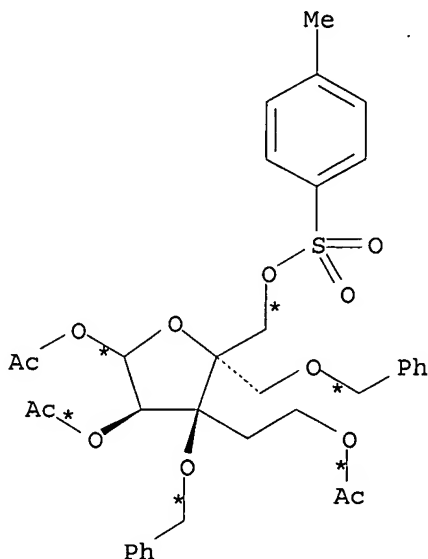
anomeric ratio ( $\beta/\alpha$ ) of pyrimidine nucleoside in either MeCN or CH<sub>2</sub>Cl-CH<sub>2</sub>Cl. This method should be suitable for the synthesis of 2'-deoxy-2'-[18F]fluoro-1- $\beta$ -D-arabinofuranosyluracil derivs.  
 RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

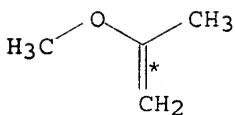
RX(82) OF 117 COMPOSED OF RX(6), RX(7), RX(8), RX(9), RX(10), RX(11), RX(13)  
 RX(82) X + V + AE ==> AP



X

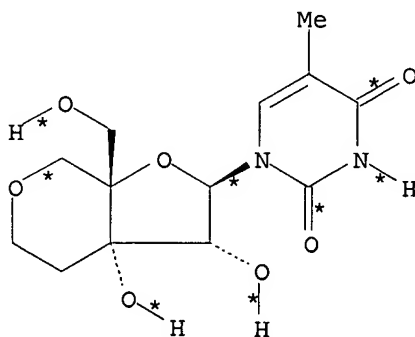


V



AE

7  
 STEPS  
 →



AP  
 YIELD 69%

RX(6) RCT X 7288-28-0, V 457068-03-0  
 RGT Z 10416-59-8 Me<sub>3</sub>SiN:CM<sub>2</sub>OSiMe<sub>3</sub>, AA 27607-77-8 Me<sub>3</sub>SiSO<sub>3</sub>CF<sub>3</sub>  
 PRO Y 457068-04-1  
 SOL 107-06-2 ClCH<sub>2</sub>CH<sub>2</sub>Cl  
 NTE stereoselective

RX(7) RCT Y 457068-04-1  
 RGT AD 74-89-5 MeNH<sub>2</sub>

PRO AC 457068-05-2  
 SOL 7732-18-5 Water, 109-99-9 THF  
 NTE stereoselective

RX(8) RCT AC 457068-05-2, AE 116-11-0  
 RGT AG 104-15-4 TsOH  
 PRO AF 457068-06-3  
 SOL 7732-18-5 Water, 75-09-2 CH2Cl2  
 NTE stereoselective

RX(9) RCT AF 457068-06-3  
 RGT F 1310-73-2 NaOH  
 PRO AH 457068-07-4  
 SOL 67-56-1 MeOH, 109-99-9 THF  
 NTE stereoselective

RX(10) RCT AH 457068-07-4  
 RGT AK 1070-89-9 (Me3Si)2N.Na  
 PRO AJ 457068-08-5  
 SOL 109-99-9 THF  
 NTE stereoselective

RX(11) RCT AJ 457068-08-5  
 RGT AG 104-15-4 TsOH  
 PRO AL 457068-09-6  
 SOL 7732-18-5 Water, 109-99-9 THF, 67-56-1 MeOH  
 NTE stereoselective

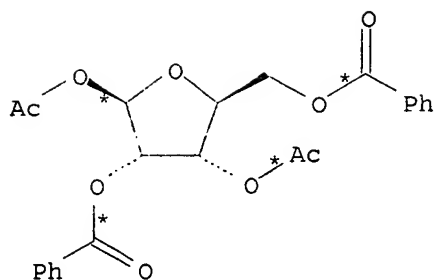
RX(13) RCT AL 457068-09-6  
 RGT AQ 110-83-8 Cyclohexene  
 PRO AP 457068-10-9  
 CAT 12135-22-7 Pd(OH)2  
 SOL 64-17-5 EtOH  
 NTE stereoselective

AN 137:217168 CASREACT  
 TI Synthesis and conformation of a novel bridged nucleoside with S-type sugar  
 puckering, trans-3',4'-BNA monomer  
 AU Obika, Satoshi; Sekiguchi, Mitsuaki; Osaki, Tomohisa; Shibata, Nao;  
 Masaki, Miyuki; Hari, Yoshiyuki; Imanishi, Takeshi  
 CS Osaka University, Graduate School of Pharmaceutical Sciences, Suita,  
 Osaka, 565-0871, Japan  
 SO Tetrahedron Letters (2002), 43(24), 4365-4368  
 CODEN: TELEAY; ISSN: 0040-4039  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 AB A novel bridged nucleoside bearing a 4,7-dioxabicyclo[4.3.0]nonane  
 skeleton, trans-3',4'-BNA monomer, was successfully synthesized. A 1H NMR  
 experiment and an X-ray crystallog. anal. revealed that the sugar puckering of  
 the 3',4'-BNA monomer was restricted to an S-type (C3'-exo) conformation.

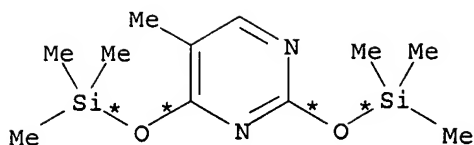
RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

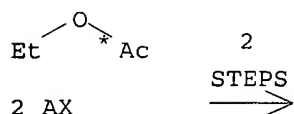
RX(36) OF 116 COMPOSED OF RX(18), RX(14)  
 RX(36) AF + AW + 2 AX ==> AJ



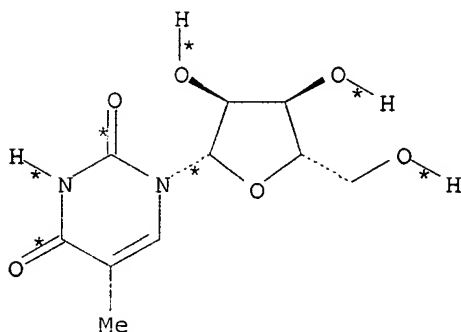
AF



AW



2 AX



AJ

YIELD 90%

RX(18) RCT AF 278180-22-6, AW 7288-28-0, AX 141-78-6  
PRO AI 420793-91-5  
SOL 110-54-3 Hexane, 75-05-8 MeCN

RX(14) RCT AI 420793-91-5  
RGT AK 7664-41-7 NH3, U 7732-18-5 Water  
PRO AJ 26879-47-0  
SOL 67-56-1 MeOH

AN 136:355410 CASREACT

TI Synthesis of peracylated derivatives of L-ribofuranose from D-ribose and their use for the preparation of  $\beta$ -L-ribonucleosides

AU Sivets, Grigorii G.; Klennitskaya, Tatjana V.; Zhernosek, Elena V.; Mikhailopulo, Igor A.

CS Institute of Bioorganic Chemistry, National Academy of Sciences, Minsk, 220141, Belarus

SO Synthesis (2002), (2), 253-259

CODEN: SYNTBF; ISSN: 0039-7881

PB Georg Thieme Verlag

DT Journal

LA English

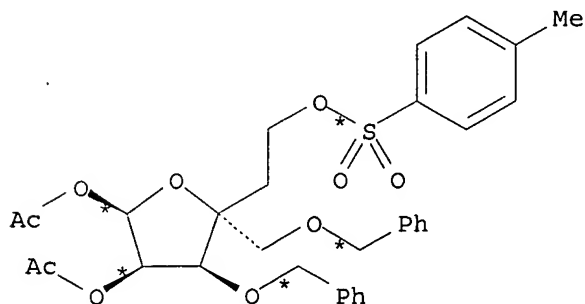
AB A practical synthesis of peracylated derivs. of  $\beta$ -L-ribofuranose from D-ribose was accomplished in six steps (total yield: 30-45%). 1,3-Di-O-acetyl-2,5-di-O-benzoyl- $\beta$ -D-ribofuranose was employed for the preparation of 1-( $\beta$ -L-ribofuranosyl)thymine and -cytosine, which are

key intermediates for the preparation of the nucleoside derivs. with  $\beta$ -L-configuration. Simultaneous transformation of  $\beta$ -L-cytidine into  $\beta$ -L-ddC and  $\beta$ -L-3'dC was studied.

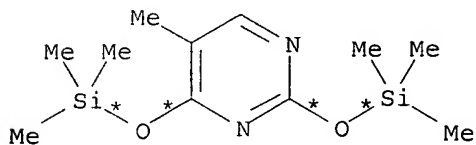
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(29) OF 55 COMPOSED OF RX(6), RX(7), RX(8)  
RX(29) R + U ==> AB

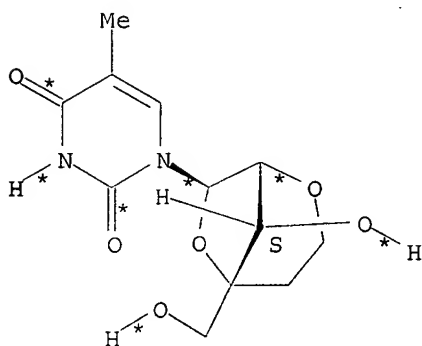


R



U

3  
STEPS  
→



AB

YIELD 77%

RX(6) RCT R 287737-65-9, U 7288-28-0  
RGT W 27607-77-8 Me3SiSO3CF3  
PRO V 287737-66-0  
SOL 107-06-2 ClCH2CH2Cl  
NTE regioselective

RX(7) RCT V 287737-66-0  
 RGT M 1310-73-2 NaOH  
 PRO Y 287737-37-5  
 SOL 110-86-1 Pyridine, 7732-18-5 Water

RX(8) RCT Y 287737-37-5  
 RGT AC 1333-74-0 H2  
 PRO AB 287737-38-6  
 CAT 12135-22-7 Pd(OH)2  
 SOL 67-56-1 MeOH

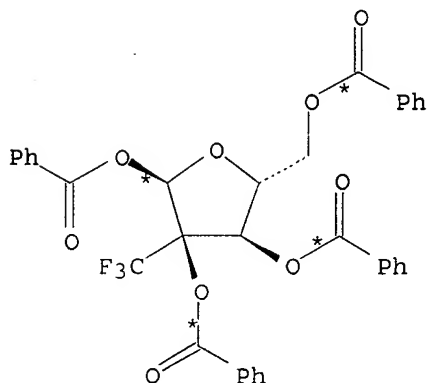
AN 136:263373 CASREACT  
 TI 2'-O,4'-C-ethylene-bridged nucleic acids (ENA): highly nuclease-resistant and thermodynamically stable oligonucleotides for antisense drug  
 AU Morita, Koji; Hasegawa, Chikako; Kaneko, Masakatsu; Tsutsumi, Shinya; Sone, Junko; Ishikawa, Tomio; Imanishi, Takeshi; Koizumi, Makoto  
 CS Sankyo Co., Ltd., Exploratory Chemistry Research Laboratories, Tokyo, 140-8710, Japan  
 SO Bioorganic & Medicinal Chemistry Letters (2001), Volume Date 2002, 12(1), 73-76  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 AB To develop antisense oligonucleotides, novel nucleosides, 2'-O,4'-C-ethylene nucleosides and their corresponding phosphoramidites, were synthesized as building blocks. The 1H NMR anal. showed that the 2'-O,4'-C-ethylene linkage of these nucleosides restricts the sugar puckering to the N-conformation as well as the linkage of 2'-O,4'-C-methylene nucleosides which are known as bridged nucleic acids (BNA) or locked nucleic acids (LNA). The ethylene-bridged nucleic acids (ENA) showed a high binding affinity for the complementary RNA strand ( $\Delta T_m = +5.2$  °C/modification) and were more nuclease-resistant than natural DNA and BNA/LNA. These results indicate that ENA have better properties as antisense oligonucleotides than BNA/LNA.

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

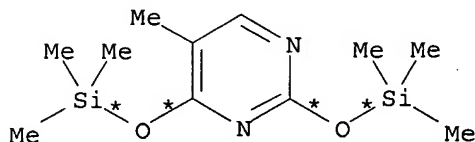
L3 ANSWER 18 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(19) OF 30 COMPOSED OF RX(7), RX(10)

RX(19) G + AD ==> AI

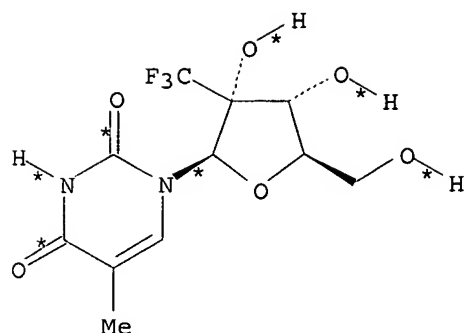


G



AD

2  
STEPS  
→



AI  
YIELD 99%

RX(7) RCT G 333996-67-1

STAGE(1)

RGT T 10035-10-6 HBr

SOL 7732-18-5 Water, 64-19-7 AcOH

STAGE(2)

SOL 71-43-2 Benzene

STAGE(3)

RCT AD 7288-28-0

STAGE(4)

RGT X 21908-53-2 HgO, Y 7789-47-1 HgBr<sub>2</sub>

STAGE(5)

SOL 67-56-1 MeOH, 7732-18-5 Water

PRO AE 333996-72-8

NTE stereoselective, heavy-wall pressure tube used in first stage

RX(10) RCT AE 333996-72-8

STAGE(1)

SOL 67-56-1 MeOH

STAGE(2)

RGT AG 7664-41-7 NH<sub>3</sub>

PRO AI 333996-75-1

AN 134:296038 CASREACT

TI 2'-C-Branched Ribonucleosides. 2. Synthesis of 2'-C-β-Trifluoromethyl Pyrimidine Ribonucleosides

AU Li, Nan-Sheng; Tang, Xiao-Qing; Piccirilli, Joseph A.

CS Department of Biochemistry and Molecular Biology and Department of Chemistry, The University of Chicago Howard Hughes Medical Institute, Chicago, IL, 60637, USA

SO Organic Letters (2001), 3(7), 1025-1028

CODEN: ORLEF7; ISSN: 1523-7060

PB American Chemical Society

DT Journal

LA English

AB The first synthesis of 2'-C-β-trifluoromethyl pyrimidine ribonucleosides is described. 1,2,3,5-Tetra-O-benzoyl-2-C-β-trifluoromethyl-α-D-ribofuranose is prepared from 1,3,5-tri-O-benzoyl-α-D-ribofuranose in three steps and converted to

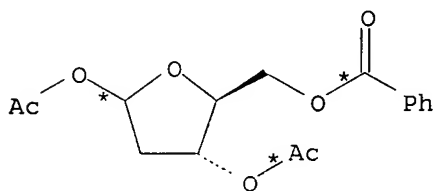
3,5-di-O-benzoyl-2-C- $\beta$ -trifluoromethyl- $\alpha$ -D-1-ribofuranosyl  
bromide (I). The 1-bromo derivative I is found to be a powerful reaction  
intermediate for the synthesis of ribonucleosides. The reaction of  
silylated pyrimidines with I in the presence of HgO/HgBr<sub>2</sub> affords  
exclusively the  $\beta$ -anomers, which after deprotection with ammonia in  
methanol yields the 2'-C- $\beta$ -trifluoromethyl nucleosides.

RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

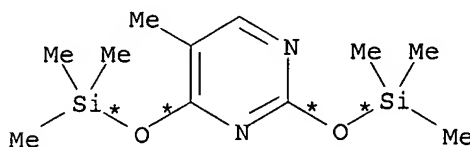
L3 ANSWER 19 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(10) OF 28 COMPOSED OF RX(1), RX(2)

RX(10) A + B ==> J

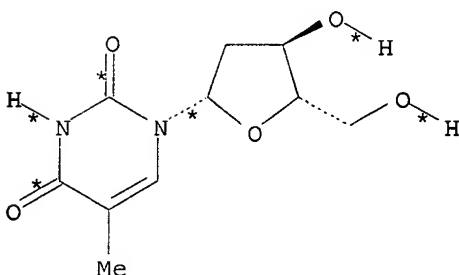


A



B

2  
STEPS  
→



J  
YIELD 81%

RX(1) RCT A 327027-21-4

STAGE(1)

CAT 7783-20-2 (NH<sub>4</sub>)<sub>2</sub>SO<sub>4</sub>

SOL 999-97-3 (Me<sub>3</sub>Si)<sub>2</sub>NH

STAGE(2)

RCT B 7288-28-0

RGT D 27607-77-8 Me<sub>3</sub>SiSO<sub>3</sub>CF<sub>3</sub>

SOL 107-06-2 ClCH<sub>2</sub>CH<sub>2</sub>Cl

STAGE(3)

RGT E 144-55-8 NaHCO<sub>3</sub>

SOL 7732-18-5 Water

PRO C 327027-22-5

RX(2) RCT C 327027-22-5  
RGT K 7664-41-7 NH3  
PRO J 3424-98-4  
SOL 67-56-1 MeOH

AN 134:193663 CASREACT

TI Synthesis and antiviral evaluation of the  $\beta$ -L-enantiomers of some thymine 3'-deoxypentofuranonucleoside derivatives

AU Mathe, Christophe; Gosselin, Gilles

CS Laboratoire de Chimie Organique Biomoléculaire de Synthèse, U.M.R. 5625 CNRS-UM-II and Université Montpellier II, Sciences et Techniques du Languedoc, Montpellier, 34095, Fr.

SO Nucleosides, Nucleotides & Nucleic Acids (2000), 19(10-12), 1517-1530  
CODEN: NNNAFY; ISSN: 1525-7770

PB Marcel Dekker, Inc.

DT Journal

LA English

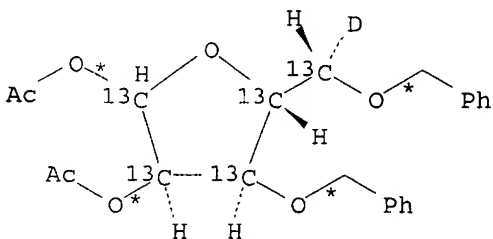
AB 3'-Deoxy- $\beta$ -L-erythro-, 3'-deoxy- $\beta$ -L-threo-, 2'-fluoro- and 2'-azido-2',3'-dideoxy- $\beta$ -L-erythro- pentofuranonucleoside derivs. of thymine have been synthesized and their antiviral properties examined. All these derivs. were stereospecifically prepared by glycosylation of thymine with a suitable peracetylated 3-deoxy-L-erythro-pentofuranose sugar, followed by appropriate chemical modifications. The prepared compds. were tested for their activity against HIV, but they did not show an antiviral effect.

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

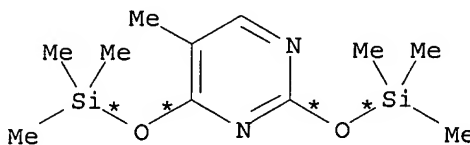
L3 ANSWER 20 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(13) OF 15 COMPOSED OF RX(3), RX(4), RX(5)

RX(13) H + I ==> N



H



I

3

STEPS

→



RX (4) RCT J 187589-11-3

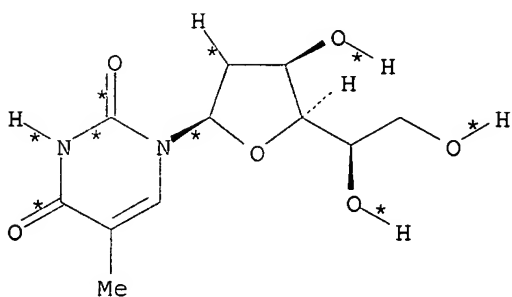
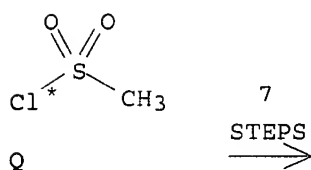
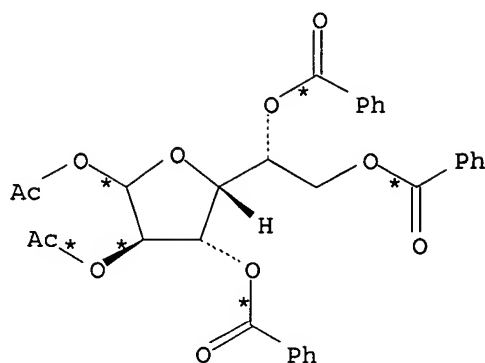
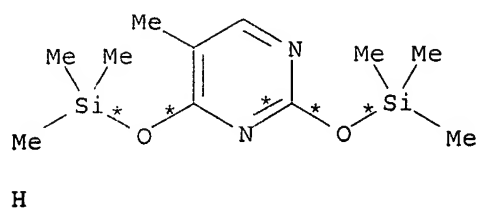
STAGE (4)

RX (5)	RCT	M	187589-12-4	
	RGT	O	7440-05-3	Pd
	PRO	N	187589-13-5	
	SOL		67-56-1	MeOH

AB (5'S)-[5'-2H1:1',2',3',4',5'-13C5]-Thymidine has been synthesized by a stereoselective deuteride transfer reaction from (-)- or (+)-[2-2H1]-isobornyloxymagnesium bromide to a 5-oxoribose derivative, which can be readily prepared from [13C6]-D-glucose. The overall yield from D-glucose to thymidine was 27%. The various nucleosides with a stereoselective 2H-label together with 13C at the C5' position, which have become available by the present method, will be quite useful for stereospecific assignment of the diastereotopic C5' methylene signals, and also for conformational analyses of the O5'-C5' bonds in nucleic acid oligomers.

L3 ANSWER 21 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(44) OF 66 COMPOSED OF RX(2), RX(3), RX(4), RX(5), RX(6), RX(7), RX(8)  
 RX(44) H + I + Q ==> AC



RX(2) RCT H 7288-28-0, I 136781-14-1

STAGE(1)

RGT K 7646-78-8 SnCl<sub>4</sub>  
 SOL 107-06-2 ClCH<sub>2</sub>CH<sub>2</sub>Cl

STAGE(2)

RGT L 144-55-8 NaHCO<sub>3</sub>  
 SOL 7732-18-5 Water

PRO J 136949-91-2  
 NTE room temp.

RX(3) RCT J 136949-91-2  
 RGT O 7647-01-0 HCl  
 PRO N 136949-92-3  
 SOL 7732-18-5 Water, 123-91-1 Dioxane

NTE room temp.; 49% yield including previous step

RX(4) RCT N 136949-92-3, Q 124-63-0  
RGT S 110-86-1 Pyridine  
PRO R 136949-93-4  
SOL 110-86-1 Pyridine  
NTE room temp.

RX(5) RCT R 136949-93-4  
RGT U 6674-22-2 DBU  
PRO T 136976-69-7  
SOL 75-05-8 MeCN  
NTE room temp.

RX(6) RCT T 136976-69-7  
RGT O 7647-01-0 HCl  
PRO W 136949-95-6  
SOL 68-12-2 DMF  
NTE 100°

RX(7) RCT W 136949-95-6  
RGT Z 688-73-3 Bu<sub>3</sub>SnH  
PRO Y 136949-96-7  
CAT 78-67-1 AIBN  
SOL 108-88-3 PhMe  
NTE 100°

RX(8) RCT Y 136949-96-7  
RGT AD 124-41-4 NaOMe  
PRO AC 19200-64-7  
SOL 67-56-1 MeOH  
NTE room temp.; 67% yield including 2 prior steps

AN 121:109582 CASREACT

TI 1-(3-Azido-2,3-dideoxy-β-D-allofuranosyl)thymine, process of its preparation, and application to the synthesis of AZT

IN Hrebabecky, Hubert; Holy, Antonin

PA Ustav Organické Chemie a Biochemie CSAV, Czech.

SO Czech., 12 pp.

CODEN: CZXXA9

DT Patent

LA Czech

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	CS 276874	B6	19920812	CS 1990-4428	19900911
PRAI	CS 1990-4428		19900911		

GI

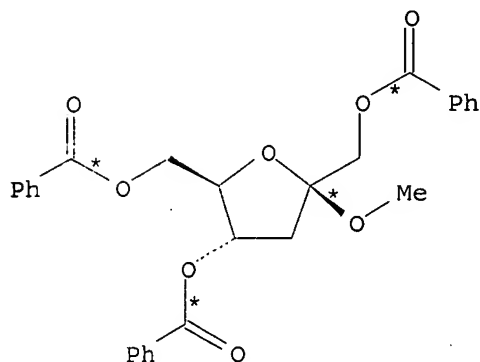
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compound I, which is readily converted to the anti-AIDS drug 3'-azido-2',3'-dideoxythymidine (AZT; II), is prepared in 10 steps. Condensation of 5-methyl-2,4-bis(trimethylsilyloxy)pyrimidine with 1,2-di-O-acetyl-3,5,6-tri-O-benzoyl-D-glucofuranose in the presence of SnCl<sub>4</sub> gave glucofuranosylthymine derivative III (R<sub>2</sub> = OAc, R<sub>3</sub> = R<sub>5</sub> = R<sub>6</sub> = Bz). This underwent deacetylation with HCl in aqueous dioxane (49% yield for both steps), mesylation of the resulting 2-OH group (96%), and cyclization of the mesylate by DBU in MeCN (96%) to give IV. Cleavage of IV by HCl in DMF gave III (R<sub>2</sub> = Cl, R<sub>3</sub> = R<sub>5</sub> = R<sub>6</sub> = Bz), which underwent dechlorination with Bu<sub>3</sub>SnH and AIBN, and methanolysis of the benzoate functions, to give III (R<sub>2</sub> = OH, R<sub>3</sub> = R<sub>5</sub> = R<sub>6</sub> = H) (67% for 3 steps). Selective protection

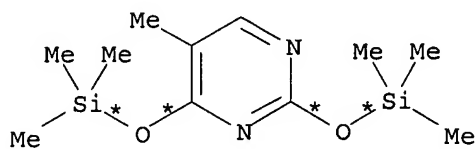
(83%) and mesylation (91%) of the latter gave III (R2 = H, R3 = SO2Me, R5R6 = CMe2), which underwent reaction with NaN3 and acid hydrolysis (76% for both) to give I. Diol cleavage of I with Dowex 1-bound periodate and reduction of the resultant aldehyde function with Dowex 1-bound borohydride gave 90% II.

L3 ANSWER 22 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(2) OF 3 2 A + 2 H ==> I + J

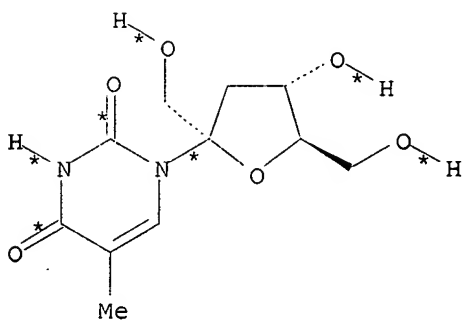


2 A

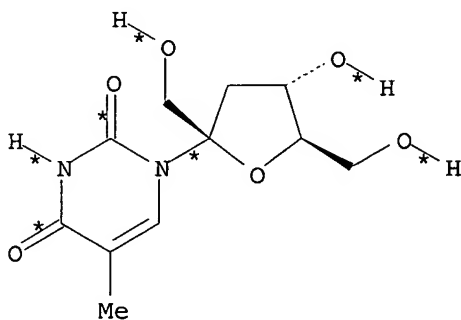


2 H

(2) →



I  
YIELD 62%(25)



J  
YIELD 62%(75)

RX(2) RCT A 156357-60-7, H 7288-28-0  
RGT E 999-97-3 (Me3Si)2NH  
PRO I 153184-84-0, J 156357-64-1  
CAT 7646-78-8 SnCl4  
SOL 75-05-8 MeCN  
NTE stereoselective

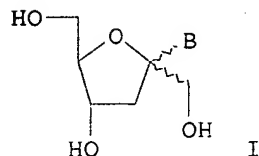
AN 121:83863 CASREACT

TI Synthesis and properties of 3'-deoxypsiconucleosides: anomeric 1-(3-deoxy-D-erythro-2-hexulofuranosyl)thymines and 9-(3-deoxy-D-erythro-2-hexulofuranosyl)adenines

AU Azhayev, Alex; Guzaev, Andrei; Hovinen, Jari; Mattinen, Jorma; Sillanpaa, Reijo; Lonnberg, Harri

CS Dep. Chem., Univ. Turku, Turku, FIN-20500, Finland

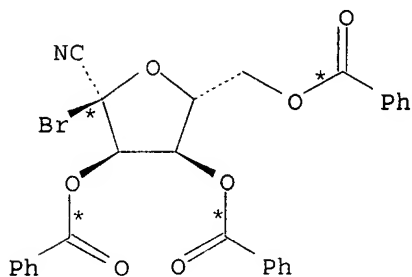
SO Synthesis (1994), (4), 396-400  
 CODEN: SYNTBF; ISSN: 0039-7881  
 DT Journal  
 LA English  
 GI



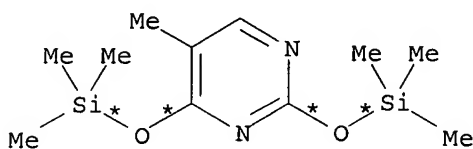
AB Deoxypsiconucleosides I (B = adenine, thymine) were prepared by tin(IV) chloride catalyzed N-glycosylation of trimethylsilylated thymine and N6-benzoyladenine with Me 3-deoxy-D-erythro-2-hexulofuranoside triacetate or tribenzoate, resp. These O-glycosides used as starting materials were obtained by deoxygenation of 1,2:4,5-di-O-isopropylidene-β-D-fructopyranose and subsequent acid-catalyzed methanolysis of the resulting 3-deoxy derivative. The anomeric configuration of the nucleosides prepared was assigned by a combination of X-ray crystallog. and 2D 1H NMR spectroscopy. The conformation and hydrolytic stability of these new nucleoside analogues are discussed.

L3 ANSWER 23 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(11) OF 23 COMPOSED OF RX(5), RX(2)  
 RX(11) B + N ==> G

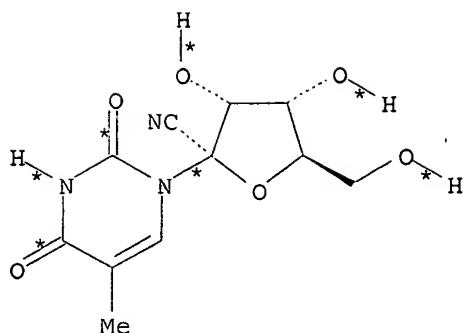


B



N

2  
 STEPS  
 →



G  
YIELD 68%

RX(5) RCT B 152039-36-6, N 7288-28-0  
RGT O 592-04-1 Hg(CN)2  
PRO F 152039-42-4  
SOL 75-52-5 MeNO2  
NTE OTHER REACTANT ISOMER ALSO PRESENT

RX(2) RCT F 152039-42-4  
RGT H 1336-21-6 NH4OH  
PRO G 149228-60-4  
SOL 67-56-1 MeOH

AN 120:77585 CASREACT

TI Synthesis and structure determination of the first 1'-C-cyano-β-D-nucleosides

AU Uteza, Valerie; Chen, Guo Rong; Le Quan Tuoi, Jeremie; Descotes, Gerard; Fenet, Bernard; Grouiller, Annie

CS Lab. Chim. Org., Univ. Lyon I, Villeurbanne, 69622, Fr.

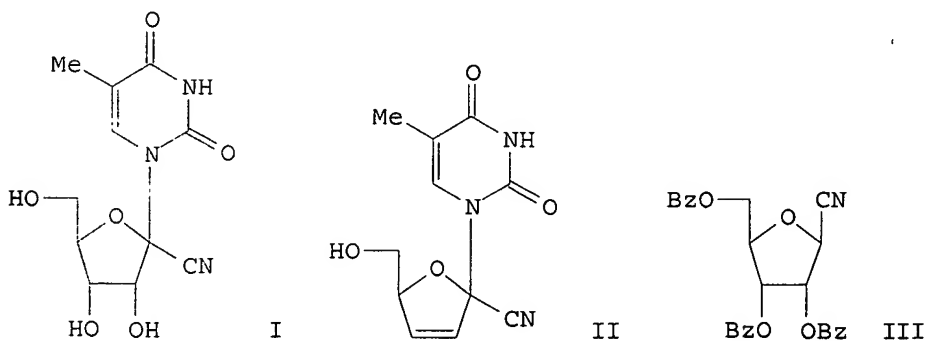
SO Tetrahedron (1993), 49(38), 8579-88

CODEN: TETRAB; ISSN: 0040-4020

DT Journal

LA English

GI

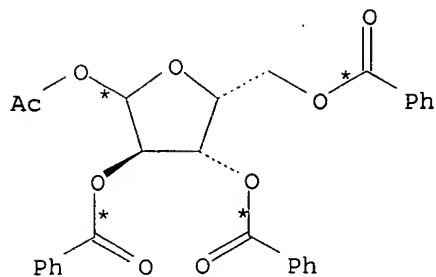


AB Title nucleosides I and II were prepared from cyano sugar III via photobromination and condensation with silylated thymine.

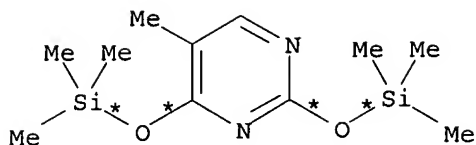
L3 ANSWER 24 OF 33 CASREACT. COPYRIGHT 2006 ACS on STN

RX(8) OF 28 COMPOSED OF RX(1), RX(2)

RX(8) A + B ==> F

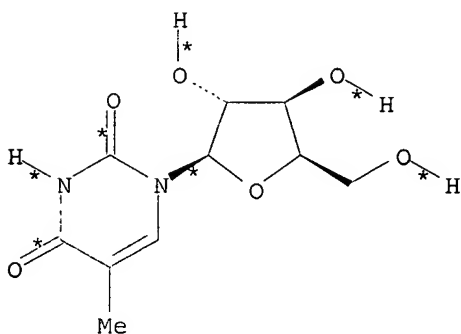


A



B

2  
STEPS  
→



F

YIELD 92%

RX(1) RCT A 99395-04-7, B 7288-28-0  
RGT D 7646-78-8 SnCl<sub>4</sub>  
PRO C 52448-07-4  
SOL 107-06-2 ClCH<sub>2</sub>CH<sub>2</sub>Cl

RX(2) RCT C 52448-07-4  
RGT G 124-41-4 NaOMe  
PRO F 52486-19-8  
SOL 67-56-1 MeOH  
NTE room temp.

AN 120:8932 CASREACT

TI Method of preparing 1-(3,5-di-O-benzoyl-2-chloro-2-deoxy-β-D-threo-pentafuranosyl)thymine, an AZT intermediate

IN Hrebabecky, Hubert; Holy, Antonin

PA Czech.

SO Czech., 6 pp.

CODEN: CZXXA9

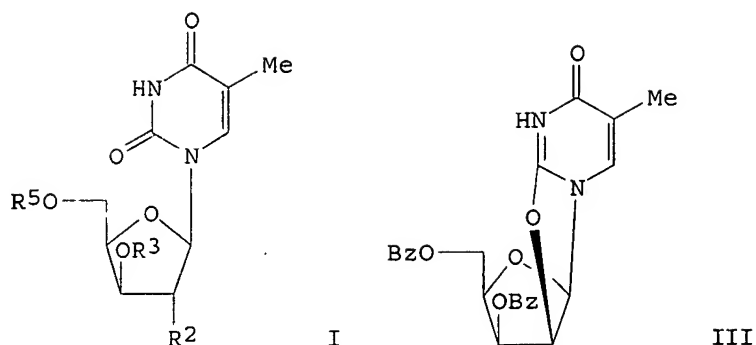
DT Patent

LA Czech

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CS 274511	B1	19910411	CS 1987-5686	19870729
PRAI	CS 1987-5686		19870729		

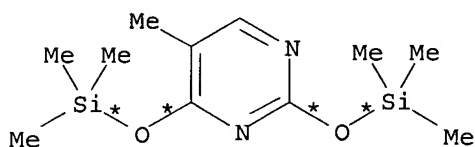
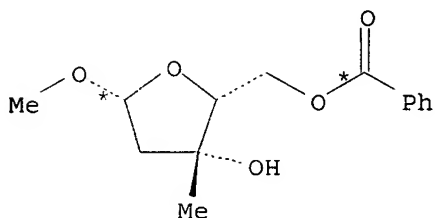
GI



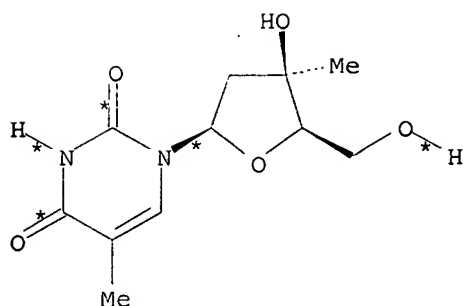
AB Title compound I ( $R_2 = Cl$ ,  $R_3 = R_5 = Bz$ ) (II), an intermediate for the AIDS drug 2'-azido-2',3'-dideoxythymidine (AZT), is prepared by a new multistep route. Condensation of 1-O-acetyl-2,3,5-tri-O-benzoyl-D-xylofuranose with 2,4-bis(trimethylsilyloxy)-5-methylpyrimidine using  $SnCl_4$  gave 89% I ( $R_2 = OBz$ ,  $R_3 = R_5 = Bz$ ), which was completely debenzoylated by NaOMe in MeOH (92.5%) and isopropylidenated with  $Me_2CO/HC(OEt)_3/H_2SO_4$  (99%) to give I ( $R_2 = OH$ ,  $R_3R_5 = CMe_2$ ). This was mesylated at 2-OH (91%), and the mesylate then deketalized with acidic ion exchanger and rebenzoylated with BzCN (77.5%) to give I ( $R_2 = OSO_2Me$ ,  $R_3 = R_5 = Bz$ ). The latter was cyclized by DBU in MeCN to give 97.5% bridged anhydro compound III, which was ring-opened with HCl in DMF to give 98% II.

L3 ANSWER 25 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(3) OF 10 ...E + G ==> H...

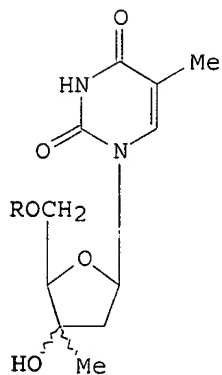


(3) →



H  
YIELD 13%

RX(3) RCT E 130411-35-7, G 7288-28-0  
RGT I 27607-77-8 Me3SiSO3CF3  
PRO H 120268-28-2  
SOL 107-06-2 ClCH2CH2Cl  
NTE stereoselective, key step  
AN 118:81310 CASREACT  
TI 3'-C-Branched 2'-deoxy-5-methyluridines: synthesis, enzyme inhibition, and antiviral properties  
AU Fedorov, I. I.; Kaz'mina, E. M.; Novicov, N. A.; Gurskaya, G. V.; Bochkarev, A. V.; Yas'ko, M. V.; Viktorova, L. S.; Kukhanova, M. K.; Balzarini, Jan; et al.  
CS Moscow Med. Sechenov Acad., Moscow, 119881, Russia  
SO Journal of Medicinal Chemistry (1992), 35(24), 4567-75  
CODEN: JMCMAR; ISSN: 0022-2623  
DT Journal  
LA English  
GI



I

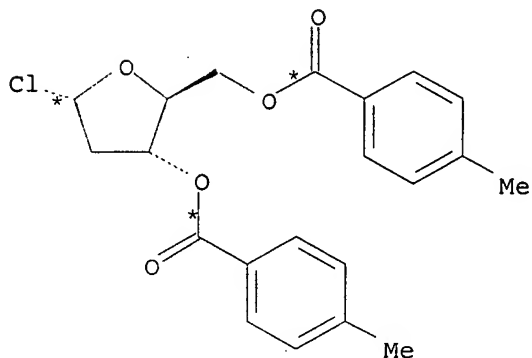
AB C-Methyldeoxythymidines, e.g. I [R = H4P3O9 (II), H2PO2] and 3'-C-methylidene-2',3'-dideoxy-5-methyluridine were prepared from 2-deoxyribose. The stereoselectivity of the Grignard reagent's attachment to 2-deoxyfuranose 3-ulosides has been ruled by the substitute configuration at C1. Also, the effect of the hydroxyl or OBz group configuration at C3 on the condensation stereoselectivity of 3-C-methyl-2-deoxyfuranosides with silylated thymine has been studied. The C2'-endo-C1'-exo conformation, the anti-conformation of thymine in relation to the glycosidic bond, and the gauche+ conformation in relation to the C4'-C5' bond are characteristic for the 3'-C-methyl-2'-deoxythymidine structure in the crystals. 3'-C-Methyl-2'-deoxythymidine 5'-triphosphate was synthesized and proved to be a competitive inhibitor,

with respect to dTTP, of a number of DNA polymerases, including the reverse transcriptases of HIV-1 and avian myeloblastosis virus. None of the DNA polymerases examined were able to incorporate this compound into the growing DNA chain. In contrast, 3'-C-methylidene-2',3'-dideoxy-5-methyluridine 5'-triphosphate was found to be incorporated at the 3'-end of the DNA chain by HIV-1 reverse transcriptase, albeit with very low efficiency. 3'-C-Methyl-2'-deoxy-5-methyluridine did not suppress HIV-1 replication in MT-4 cells at 500  $\mu$ M while its 5'-phosphite derivative exhibited modest anti-HIV-1 activity.

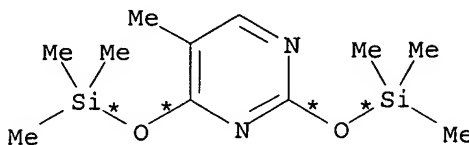
L3 ANSWER 26 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(7) OF 10 COMPOSED OF RX(2), RX(4)

RX(7) A + G ==> M

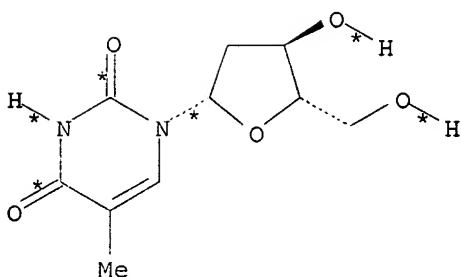


A



G

2  
STEPS  
→



M  
YIELD 87%

RX(2) RCT A 141846-57-3, G 7288-28-0  
RGT I 100-02-7 4-O2NC6H4OH  
PRO H 3056-13-1  
SOL 67-66-3 CHCl3  
NTE key step

RX(4) RCT H 3056-13-1  
RGT N 7664-41-7 NH3

PRO M 3424-98-4  
SOL 67-56-1 MeOH

AN 117:111955 CASREACT

TI A convenient and stereoselective synthesis of 2'-deoxy- $\beta$ -L-  
ribonucleosides

AU Fujimori, Shizuyoshi; Iwanami, Naoko; Hashimoto, Yuichi; Shudo, Koichi

CS Fac. Pharm. Sci., Univ. Tokyo, Tokyo, 113, Japan

SO Nucleosides & Nucleotides (1992), 11(2-4), 341-9

CODEN: NUNUD5; ISSN: 0732-8311

DT Journal

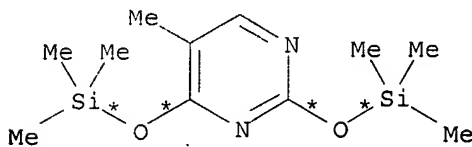
LA English

AB 2'-Deoxy- $\beta$ -L-ribonucleosides containing usual bases which are useful as  
synthons for modified oligodeoxyribonucleotides, were conveniently  
synthesized by a stereoselective glycosidation of 1-chloro-2-deoxy-3,5-di-  
O-p-toluoyl- $\alpha$ -L-erythro-pentofuranose with nucleoside bases. The  
method is suitable for large-scale preps.

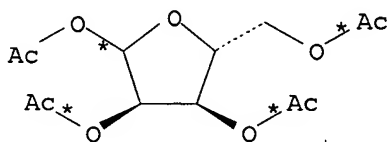
L3 ANSWER 27 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(6) OF 7 COMPOSED OF RX(4), RX(2)

RX(6) C + J ==> E

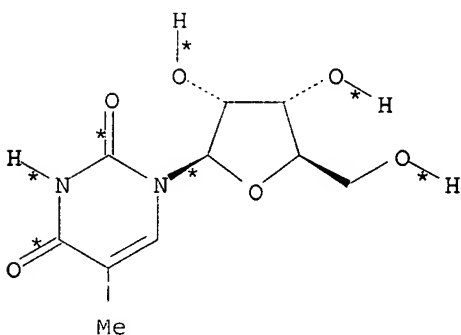


C



J

2  
STEPS  
→



E

RX(4) RCT C 7288-28-0, J 28708-32-9  
RGT K 7646-78-8 SnCl4  
PRO D 4336-39-4

RX(2) RCT D 4336-39-4  
PRO E 1463-10-1  
SOL 67-56-1 MeOH

AN 113:59790 CASREACT

TI Synthesis of thymidine as intermediate for antivirals

IN Freskos, John N.; Senaratne, K. Pushpananda A.

PA Ethyl Corp., USA

SO U.S., 6 pp.

CODEN: USXXAM

DT Patent  
LA English  
FAN.CNT 1

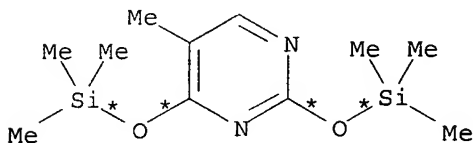
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4914233	A	19900403	US 1988-162508	19880301
PRAI	US 1988-162508		19880301		

AB The title compound, useful as an intermediate for anti-AIDS drugs, was prepared via reaction of a mixture of  $\alpha$  and  $\beta$  anomers of tetra-O-acylribofuranose with a protected thymine, hydrolyzing the resulting tri-O-acyl- $\beta$ -D-ribothymidine to  $\beta$ -D-ribothymidine, converting the latter to 2,2'-anhydro- $\beta$ -thymidine, and hydrohalogenation of the latter to 2'-halo-2'-deoxy-5-methyluridine followed by hydrogenolysis. O,O'-Bis(trimethylsilyl)thymine (preparation given) was reacted with a mixture of tetra-O-acetyl- $\alpha$ - and  $\beta$ -ribofuranose (preparation given) in  $\text{ClCH}_2\text{CH}_2\text{Cl}$  containing  $\text{SnCl}_4$  to give tri-O-acetylribothymidine, which was deacetylated and then was treated with di-Ph carbonate- $\text{Na}_2\text{CO}_3$  to give 2,2'-anhydro- $\beta$ -thymidine. This was hydrobrominated with anhydrous  $\text{HBr}$  in  $\text{DMF}$  to give 2'-bromo-2'-deoxy-5-methyluridine, which was hydrogenolized over Raney  $\text{Ni}$  to give  $\beta$ -thymidine.

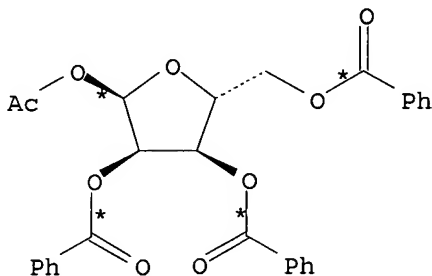
L3 ANSWER 28 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(10) OF 13 COMPOSED OF RX(6), RX(4)

RX(10) C + I ==> D

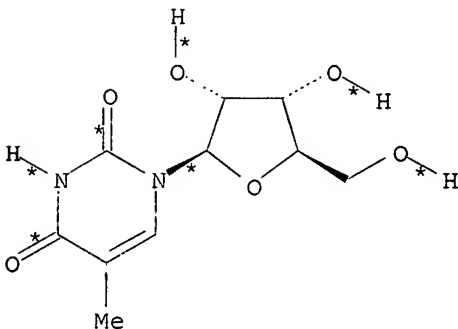


C



I

2  
STEPS  
→



D

RX(6) RCT C 7288-28-0, I 70832-64-3  
PRO G 3180-76-5

RX(4) RCT G 3180-76-5  
PRO D 1463-10-1

AN 111:166826 CASREACT

TI Synthesis and antiviral activity of 1-( $\beta$ -D-arabinofuranosyl)thymine

AU Kvasyuk, E. I.; Kulak, T. I.; Tkachenko, O. V.; Mikhailopulo, I. A.;  
Zinchenko, A. I.; Barai, V. N.; Bokut, S. B.; Marennikova, S. S.;  
Chekunova, E. V.

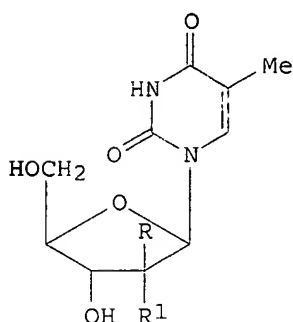
CS Inst. Biol. Khim., Minsk, USSR

SO Khimiko-Farmatsevticheskii Zhurnal (1989), 23(6), 699-702  
CODEN: KHFZAN; ISSN: 0023-1134

DT Journal

LA Russian

GI



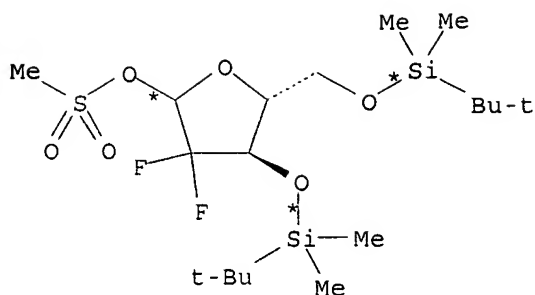
I, R=OH, R<sup>1</sup>=H

II, R=H, R<sup>1</sup>=OH

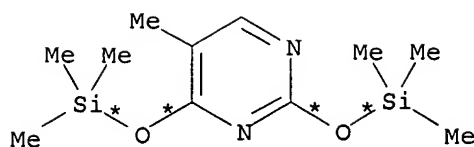
AB 1-( $\beta$ -D-Arabinofuranosyl)thymine (ara-T) (I) was prepared by both chemical and microbiol. methods. In the chemical method, thymine was silylated to the bis-silyl derivative which was condensed with 1-O-acetyl-2,3,5-tri-O-benzoyl- $\beta$ -D-ribofuranose in the presence of SnCl<sub>4</sub> in dichloroethane. Debenzoylation of the resulting benzoyl derivative with NaOMe gave II. II on treatment with acetylsalicylic acid chloride followed by HCl treatment gave the isomer I. In the microbial method, II was prepared by the treatment of inosine with purine nucleoside phosphoridase of *Escherichia coli* followed by the transglycosidation of the  $\alpha$ -D-ribofuranose-1-phosphate formed with thymine in the presence of pyrimidine nucleoside phosphorylase. I showed virucidal activity against herpes simplex type I virus.

L3 ANSWER 29 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(1) OF 1 A + B ==> C

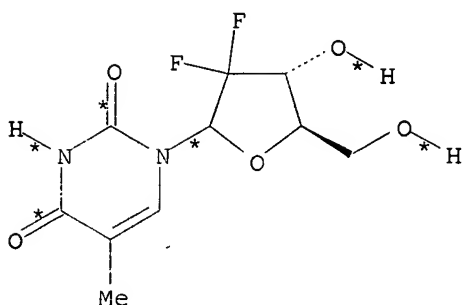


A



B

(1)  $\Rightarrow$



C

RX(1) RCT A 103882-89-9, B 7288-28-0  
 PRO C 110270-58-1  
 CAT 27607-77-8 Me3SiSO3CF3

AN 109:6906 CASREACT

TI Preparation of antiviral difluoronucleosides and their intermediates

IN Hertel, Larry W.

PA Eli Lilly and Co., USA

SO U.S., 11 pp. Cont.-in-part of U.S. 4,526,988.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4692434	A	19870908	US 1984-677146	19841204
	US 4526988	A	19850702	US 1983-473883	19830310
	DK 8401144	A	19840911	DK 1984-1144	19840228
	DK 162529	B	19911111		
	DK 162529	C	19920330		
	RO 89963	B3	19860930	RO 1984-113763	19840229
	ZA 8401605	A	19851030	ZA 1984-1605	19840302
	CA 1218647	A1	19870303	CA 1984-448698	19840302
	IL 71143	A1	19880731	IL 1984-71143	19840304
	IL 80463	A1	19880731	IL 1984-80463	19840304
	FI 8400890	A	19840911	FI 1984-890	19840306
	FI 77870	B	19890131		
	FI 77870	C	19890510		

EP 122707	A1	19841024	EP 1984-301463	19840306
EP 122707	B1	19870916		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 29726	E	19871015	AT 1984-301463	19840306
AU 8425374	A1	19840913	AU 1984-25374	19840307
AU 565856	B2	19871001		
ES 530364	A1	19851201	ES 1984-530364	19840307
SU 1442076	A3	19881130	SU 1984-3710351	19840307
DD 216468	A5	19841212	DD 1984-260703	19840308
CS 246075	B2	19861016	CS 1984-1667	19840308
JP 59175498	A2	19841004	JP 1984-46387	19840309
JP 05042438	B4	19930628		
HU 33813	O	19841228	HU 1984-963	19840309
HU 193893	B	19871228		
PL 142437	B1	19871031	PL 1984-246601	19840309
CA 1223869	A2	19870707	CA 1986-509195	19860514
US 4808614	A	19890228	US 1987-58219	19870604
US 5015743	A	19910514	US 1989-449156	19891215
DK 9001905	A	19900810	DK 1990-1905	19900810
DK 170647	B1	19951120		
US 5118820	A	19920602	US 1991-652349	19910207
JP 06009602	A2	19940118	JP 1993-4752	19930114
JP 06102655	B4	19941214		
PRAI US 1983-473883		19830310		
CA 1984-448698		19840302		
IL 1984-71143		19840304		
EP 1984-301463		19840306		
US 1984-677146		19841204		
US 1987-58219		19870604		
US 1988-288383		19881220		
US 1989-449156		19891215		

GI

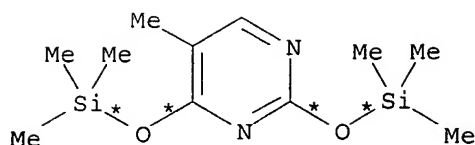
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Difluoronucleosides I (R = nucleoside base Q-Q4; R1 = H, Me, Br, F, Cl, iodo; R2 = OH, amino; R3 = H, Br, Cl, iodo), which are useful for treating herpes infections and also have antineoplastic activity, are prepared 4-Formyl-2,2-dimethyldioxolane was alkylated with BrCF<sub>2</sub>CO<sub>2</sub>Et to give dioxolanylpropionate II, with a 3R/3S ratio of 3:1. In the key step, 3R-II was deprotected and cyclized using Dowex 50W-X12 resin, to give 2-deoxy-2,2,-difluoro-1-oxoribose. The latter was protected, reduced, mesylated, and treated with 2-methyl-2,4-bis(trimethylsilyloxy)pyrimidine to give I (R = Q, R1 = Me) (III). III was active against herpes virus in vitro.

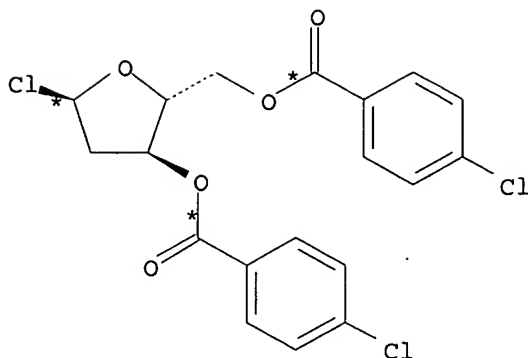
L3 ANSWER 30 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(46) OF 69 COMPOSED OF RX(7), RX(25)

RX(46) C + N ==> AM

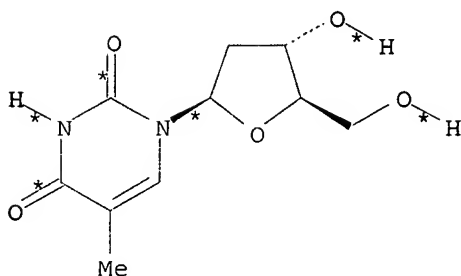


C



N

2  
STEPS  
→



AM

RX(7) RCT C 7288-28-0, N 21740-23-8  
RGT Q 100-02-7 4-O2NC6H4OH  
PRO S 4449-32-5  
SOL 67-66-3 CHCl3

RX(25) RCT S 4449-32-5  
RGT AE 7664-41-7 NH3  
PRO AM 50-89-5  
SOL 67-56-1 MeOH

AN 108:187139 CASREACT

TI Stereoselective synthesis of anomers of 5-substituted 2'-deoxyuridines

AU Aoyama, Hajime

CS Res. Lab., Toyama Chem. Co., Ltd., Toyama, 930, Japan

SO Bulletin of the Chemical Society of Japan (1987), 60(6), 2073-7

CODEN: BCSJA8; ISSN: 0009-2673

DT Journal

LA English

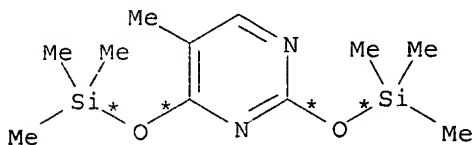
AB Glycosylation of 5-substituted 2,4-bis(trimethylsilyloxy)pyrimidines with 3,5-bis(4-chlorobenzoyloxy)-2-deoxy-α-D-ribofuranosyl chloride was investigated. In the presence of p-nitrophenol, β anomers were formed stereoselectively, whereas the addition of organic bases brought forth stereoselective formation of α anomers. Stereoselectivity of the reaction depends on the substituents at the 5-position of disilylpyrimidines, additives, and the concentration of each reagent. The α and β anomers of 5-substituted 2'-deoxyuridines were prepared

through the deacylation of  $\alpha$  and  $\beta$  anomers of 5-substituted 3',5'-di-O-(p-chlorobenzoyl)-2'-deoxyuridines.

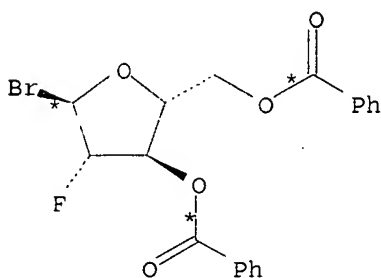
L3 ANSWER 31 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(34) OF 106 COMPOSED OF RX(19), RX(20)

RX(34) 2 AM + 2 W ==> AP + AQ

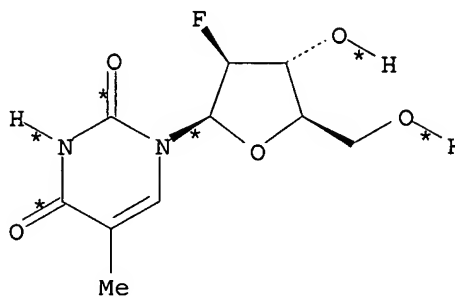


2 AM

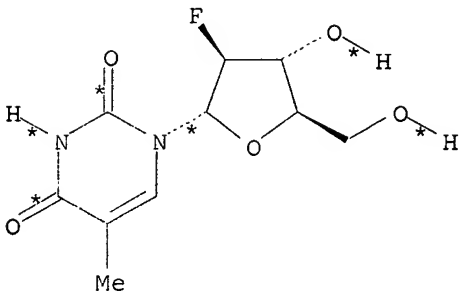


2 W

2  
STEPS  
→



AP



AQ

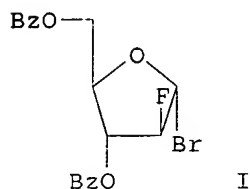
RX(19) RCT AM 7288-28-0, W 97614-44-3  
PRO AN 97614-47-6, AO 97614-48-7  
SOL 75-05-8 MeCN

RX(20) RCT AN 97614-47-6, AO 97614-48-7  
RGT AI 1310-73-2 NaOH  
PRO AP 69256-17-3, AQ 97672-34-9  
SOL 67-56-1 MeOH, 7732-18-5 Water

AN 103:123851 CASREACT

TI Fluorocarbohydrates in synthesis. An efficient synthesis of 1-(2-deoxy-2-fluoro- $\beta$ -D-arabinofuranosyl)-5-iodouracil ( $\beta$ -FIAU) and 1-(2-deoxy-2-fluoro- $\beta$ -D-arabinofuranosyl)thymine ( $\beta$ -FMAU)

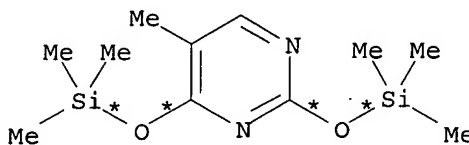
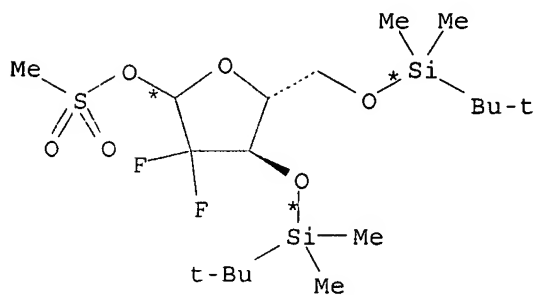
AU Tann, Chou H.; Brodfuehrer, Paul R.; Brundidge, Steven P.; Sapino,  
 Chester, Jr.; Howell, Henry G.  
 CS Pharm. Res. Dev. Div., Bristol-Myers, Syracuse, NY, 13221-4755, USA  
 SO Journal of Organic Chemistry (1985), 50(19), 3644-7  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DT Journal  
 LA English  
 GI



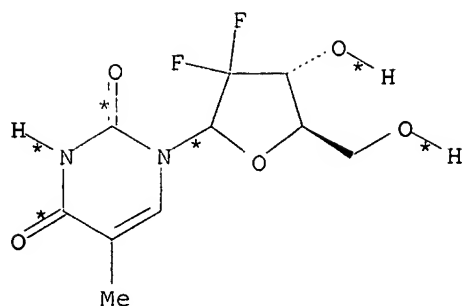
AB A 4-step, highly efficient synthesis of  $\beta$ -FIAU and  $\beta$ -FMAU is reported. 2-Deoxy-2-fluoro-1,3,5-tri-O-benzoyl- $\alpha$ -D-arabinofuranose was prepared from 1,3,5-tri-O-benzoyl- $\alpha$ -D-ribofuranose, by fluorination of the corresponding 2-O-(imidazolylsulfonyl) derivative in 63% yield. The use of anomerically pure bromide I for coupling to the nucleoside base results in higher yields of the desired  $\beta$ -nucleosides.

L3 ANSWER 32 OF 33 CASREACT COPYRIGHT 2006 ACS on STN

RX(1) OF 1      A + B ==> C



(1)  $\longrightarrow$



C

RX(1) RCT A 103882-89-9, B 7288-28-0

PRO C 110270-58-1

AN 102:113894 CASREACT

TI Nucleosides

IN Hertel, Larry Wayne

PA Eli Lilly and Co., USA

SO Brit. UK Pat. Appl., 17 pp.

CODEN: BAXXDU

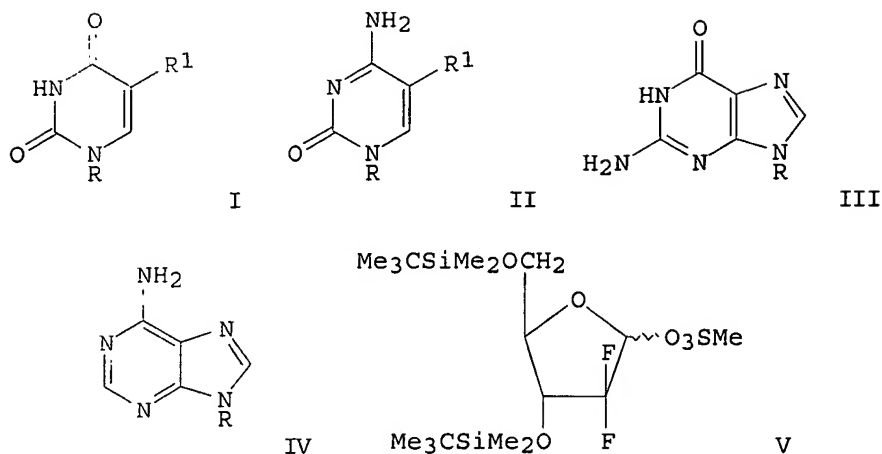
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	GB 2136425	A1	19840919	GB 1984-5805	19840306
	GB 2136425	B2	19870513		
	US 4526988	A	19850702	US 1983-473883	19830310
	DK 8401144	A	19840911	DK 1984-1144	19840228
	DK 162529	B	19911111		
	DK 162529	C	19920330		
	RO 89963	B3	19860930	RO 1984-113763	19840229
	ZA 8401605	A	19851030	ZA 1984-1605	19840302
	CA 1218647	A1	19870303	CA 1984-448698	19840302
	IL 71143	A1	19880731	IL 1984-71143	19840304
	IL 80463	A1	19880731	IL 1984-80463	19840304
	FI 8400890	A	19840911	FI 1984-890	19840306
	FI 77870	B	19890131		
	FI 77870	C	19890510		
	EP 122707	A1	19841024	EP 1984-301463	19840306
	EP 122707	B1	19870916		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 29726	E	19871015	AT 1984-301463	19840306
	AU 8425374	A1	19840913	AU 1984-25374	19840307
	AU 565856	B2	19871001		
	ES 530364	A1	19851201	ES 1984-530364	19840307
	SU 1442076	A3	19881130	SU 1984-3710351	19840307
	DD 216468	A5	19841212	DD 1984-260703	19840308
	CS 246075	B2	19861016	CS 1984-1667	19840308
	JP 59175498	A2	19841004	JP 1984-46387	19840309
	JP 05042438	B4	19930628		
	HU 33813	O	19841228	HU 1984-963	19840309
	HU 193893	B	19871228		
	PL 142437	B1	19871031	PL 1984-246601	19840309
	GB 2172287	A1	19860917	GB 1986-10648	19860501
	GB 2172287	B2	19870520		
	CA 1223869	A2	19870707	CA 1986-509195	19860514
	DK 9001905	A	19900810	DK 1990-1905	19900810
	DK 170647	B1	19951120		
	JP 06009602	A2	19940118	JP 1993-4752	19930114

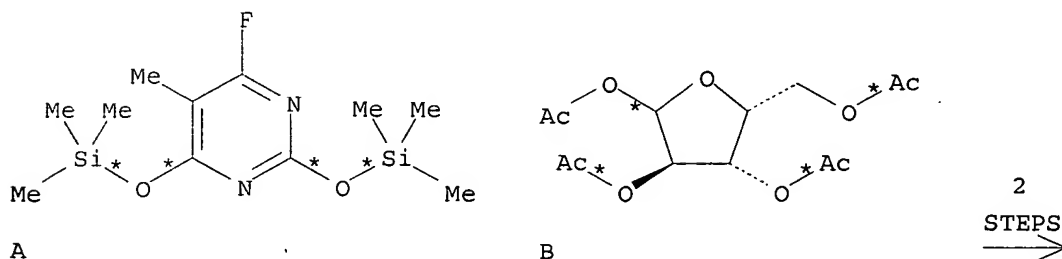
JP 06102655      B4      19941214  
PRAI US 1983-473883      19830310  
CA 1984-448698      19840302  
IL 1984-71143      19840304  
EP 1984-301463      19840306  
GB 1984-5805      19840306  
OS MARPAT 102:113894  
GI

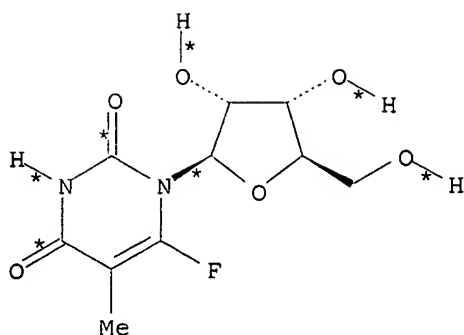


AB    Difluoro nucleosides I-IV [R = 2-deoxy-2,2-difluoro- $\alpha(\beta)$ -D-pentofuranosyl; R1 = H, Me, halogen, CH:CHR2; R2 = Cl, Br, iodo] were prepared. Thus, 4-formyl-2,2-dimethyldioxolane, prepared from D-glyceraldehyde, was condensed with BrCF2CO2Et to give a 3:1 mixture of Et (3R)- and (3S)-2,2-difluoro-3-hydroxy-3-(2,2-dimethyldioxolan-4-yl)propionate. The 3R-isomer was hydrolyzed to give the ribonolactone, which was tert-butyldimethylsilylated, reduced with (Me2CHCH2)2AlH, and mesylated to give ribose derivative V. V was condensed with 5-methyl-2,4-bis(trimethylsiloxy)pyrimidine to give the silylated nucleoside which was desilylated with HBr to give I [R = 2-deoxy-2,2-difluoro- $\alpha(\beta)$ -ribofuranosyl, R1 = Me; VI].  $\beta$ -VI at 0.31  $\mu$ g/mL inhibited the growth of herpes simplex virus, type 1 by 50% compared with 7.6 and 1.74  $\mu$ g/mL for Ara-A and Acyclovir, resp.

L3    ANSWER 33 OF 33    CASREACT    COPYRIGHT 2006 ACS on STN

RX(4) OF 4 COMPOSED OF RX(1), RX(2)  
RX(4)      A + B ==> D





D

RX(1) RCT A 51918-85-5, B 42927-46-8  
PRO C 51842-00-3

RX(2) RCT C 51842-00-3  
PRO D 80384-38-9

AN 97:163426 CASREACT

TI 1-Substituted 6-fluorothymines

IN Von Janta-Lipinski, Martin; Plaul, Helga; Langen, Peter

PA Akademie der Wissenschaften der DDR, Zentralinstitut fuer  
Molekularbiologie, Ger. Dem. Rep.

SO Ger. (East), 5 pp.

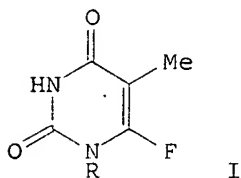
CODEN: GEXXA8

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	----	-----	-----
PI	DD 150901	Z	19810923	DD 1980-221261	19800521
PRAI	DD 1980-221261		19800521		
GI					



AB Fluorothymines I [R = (un)substituted 2-deoxyribosyl, ribosyl] were prepared. Thus, 2,4-bis-O-(trimethylsilyl)-6-fluorothymine was glycosidated with tetra-O-acetyl-D-ribofuranose and deacetylated to give I (R =  $\beta$ -D-ribofuranosyl).

=> dis hist

(FILE 'HOME' ENTERED AT 13:39:13 ON 21 SEP 2006)

FILE 'CASREACT' ENTERED AT 13:40:13 ON 21 SEP 2006

L1 STRUCTURE UPLOADED

L2 1 S L1 SSS SAM

L3 33 S L1 SSS FULL

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1623kxg

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006  
NEWS 4 MAY 10 CA/CAPplus enhanced with 1900-1906 U.S. patent records  
NEWS 5 MAY 11 KOREAPAT updates resume  
NEWS 6 MAY 19 Derwent World Patents Index to be reloaded and enhanced  
NEWS 7 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAPplus and  
USPATFULL/USPAT2  
NEWS 8 MAY 30 The F-Term thesaurus is now available in CA/CAPplus  
NEWS 9 JUN 02 The first reclassification of IPC codes now complete in  
INPADOC  
NEWS 10 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and  
and display fields  
NEWS 11 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL  
NEWS 12 JUL 11 CHEMSAFE reloaded and enhanced  
NEWS 13 JUL 14 FSTA enhanced with Japanese patents  
NEWS 14 JUL 19 Coverage of Research Disclosure reinstated in DWPI  
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive  
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced  
NEWS 17 AUG 30 CA(SM)/CAPplus(SM) Austrian patent law changes  
NEWS 18 SEP 11 CA/CAPplus enhanced with more pre-1907 records  
NEWS 19 SEP 21 CA/CAPplus fields enhanced with simultaneous left and right  
truncation  
  
NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.  
  
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NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8  
NEWS X25 X.25 communication option no longer available

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 11:07:45 ON 21 SEP 2006

=> file casreact

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'CASREACT' ENTERED AT 11:08:07 ON 21 SEP 2006  
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FILE CONTENT:1840 - 17 Sep 2006 VOL 145 ISS 12

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*****
*
*      CASREACT now has more than 10 million reactions
*
*****
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Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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Uploading C:\Program Files\Stnexp\Queries\10806296.str
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L1 STRUCTURE UPLOADED

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=> d l1
L1 HAS NO ANSWERS
L1          STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 11:09:16 FILE 'CASREACT'
SCREENING COMPLETE -          59 REACTIONS TO VERIFY FROM          6 DOCUMENTS

100.0% DONE          59 VERIFIED          0 HIT RXNS          0 DOCS
SEARCH TIME: 00.00.01
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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED VERIFICATIONS: 720 TO    1640
PROJECTED ANSWERS:       0 TO      0

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L2          0 SEA SSS SAM L1 (          0 REACTIONS)
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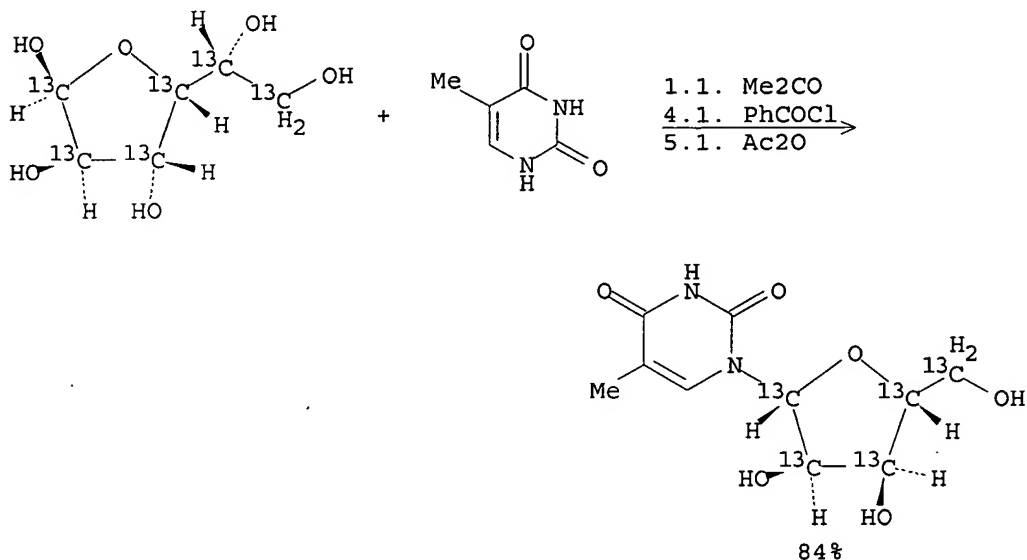
L3                    2 SEA SSS FUL L1 (            2 REACTIONS)

=> d scan

L3 2 ANSWERS CASREACT COPYRIGHT 2006 ACS on STN

TI Chemical synthesis of  $^{13}\text{C}$  labeled anti-HIV nucleosides as mass-internal standards

RX(129) OF 192 - 7 STEPS



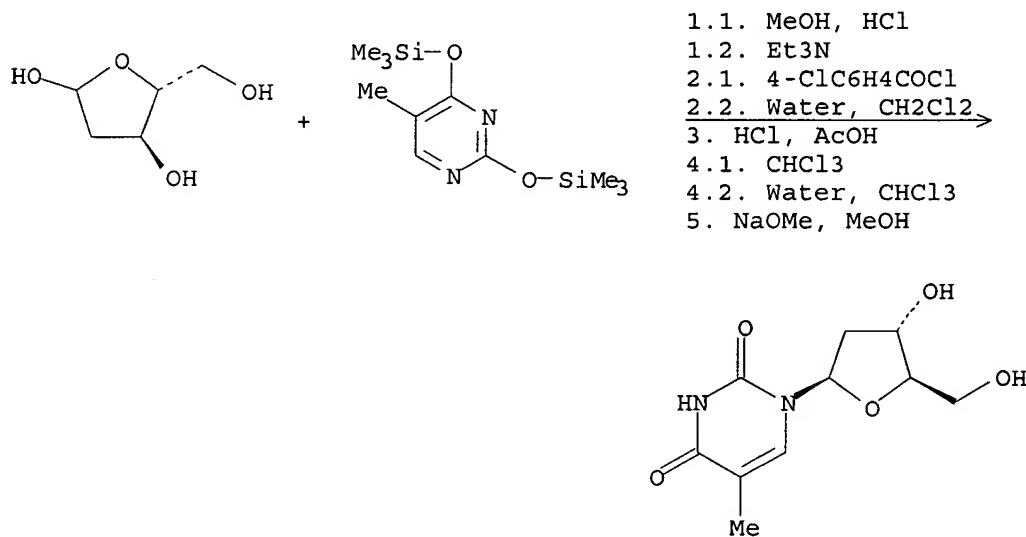
NOTE: 1) stereoselective, 2) stereoselective, 3) stereoselective, 5) stereoselective, 6) stereoselective

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 2 ANSWERS CASREACT COPYRIGHT 2006 ACS on STN

TI Method for preparing  $\beta$ -thymidine

RX(20) OF 21 - 5 STEPS



ALL ANSWERS HAVE BEEN SCANNED

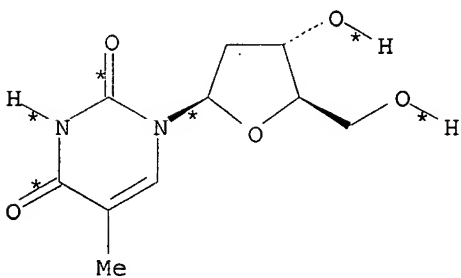
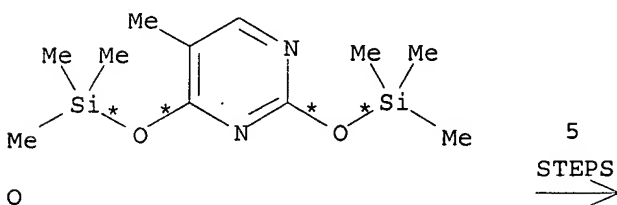
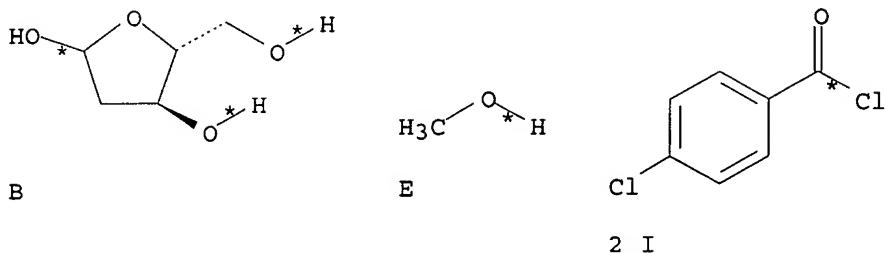
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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF  
LOGOFF? (Y)/N/HOLD:n

=> d l3 fhlt abs bib

L3 ANSWER 1 OF 2 CASREACT COPYRIGHT 2006 ACS on STN

RX(20) OF 21 COMPOSED OF RX(2), RX(3), RX(4), RX(5), RX(6)  
RX(20) B + E + 2 I + O ==> R



RX(2) RCT B 452-51-7, E 67-56-1

STAGE(1)

RGT G 7647-01-0 HCl

SOL 67-56-1 MeOH

CON 2 - 3 hours, 25 - 27 deg C

STAGE(2)

RGT H 121-44-8 Et3N

CON 0.5 hours, 25 - 27 deg C, basify

PRO F 60134-26-1

RX(3) RCT F 60134-26-1, I 122-01-0

STAGE(1)

CON 4 - 5 hours, 40 deg C

STAGE(2)

SOL 7732-18-5 Water, 75-09-2 CH<sub>2</sub>Cl<sub>2</sub>

CON 0.5 hours, 5 - 10 deg C

PRO J 99886-53-0

RX(4) RCT J 99886-53-0

RGT G 7647-01-0 HCl

PRO M 3601-90-9

SOL 64-19-7 AcOH

CON 2 - 4 hours, 30 deg C

RX(5) RCT M 3601-90-9, O 7288-28-0

STAGE(1)

SOL 67-66-3 CHCl<sub>3</sub>

CON 3 - 4 hours, 65 - 70 deg C

STAGE(2)

SOL 7732-18-5 Water, 67-66-3 CHCl<sub>3</sub>

CON 35 deg C

PRO P 4449-32-5

RX(6) RCT P 4449-32-5

RGT S 124-41-4 NaOMe

PRO R 50-89-5

SOL 67-56-1 MeOH

CON 5 - 6 hours, 65 - 70 deg C

AB A multistep process for preparing  $\beta$ -thymidine is disclosed.

AN 143:153656 CASREACT

TI Method for preparing  $\beta$ -thymidine

IN Bao, Jianshao

PA Lianhua Sci-Tech Co., Ltd., Zhejiang, Peop. Rep. China

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp. given

CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1539845	A	20041027	CN 2003-116591	20030424
PRAI	CN 2003-116591		20030424		

=> s l3 and (deoxythymidine or deoxyuridine)

279 DEOXYTHYMIDINE

18 DEOXYTHYMIDINES

284 DEOXYTHYMIDINE

(DEOXYTHYMIDINE OR DEOXYTHYMIDINES)

528 DEOXYURIDINE

85 DEOXYURIDINES

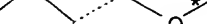


548 DEOXYURIDINE

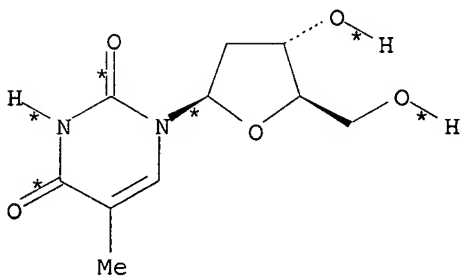
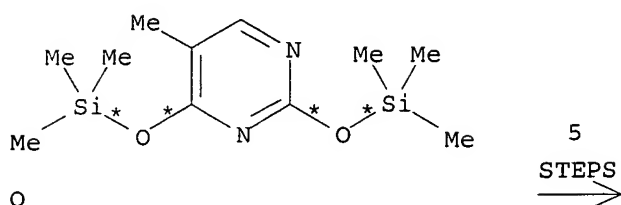
(DEOXYURIDINE OR DEOXYURIDINES)

L4 0 L3 AND (DEOXYTHYMIDINE OR DEOXYURIDINE)

=> d l3 1-2 fhit bib abs

L3 ANSWER 1 OF 2 CASREACT COPYRIGHT 2006 ACS on STN



RX (2) RCT B 452-51-7, E 67-56-1

RGT G 7647-01-0 HCl  
SOL 67-56-1 MeOH  
CON 2 - 3 hours, 25 - 27 deg C

RGT H 121-44-8 Et3N  
CON 0.5 hours, 25 - 27 deg C, basify

RX(3) RCT F 60134-26-1, I 122-01-0

CON 4 - 5 hours, 40 deg C

SOL 7732-18-5 Water, 75-09-2 CH<sub>2</sub>Cl<sub>2</sub>  
CON 0.5 hours, 5 - 10 deg C

PRO J 99886-53-0

RX(4) RCT J 99886-53-0  
RGT G 7647-01-0 HCl  
PRO M 3601-90-9  
SOL 64-19-7 AcOH  
CON 2 - 4 hours, 30 deg C

RX(5) RCT M 3601-90-9, O 7288-28-0

STAGE(1)

SOL 67-66-3 CHCl<sub>3</sub>  
CON 3 - 4 hours, 65 - 70 deg C

STAGE(2)

SOL 7732-18-5 Water, 67-66-3 CHCl<sub>3</sub>  
CON 35 deg C

PRO P 4449-32-5

RX(6) RCT P 4449-32-5  
RGT S 124-41-4 NaOMe  
PRO R 50-89-5  
SOL 67-56-1 MeOH  
CON 5 - 6 hours, 65 - 70 deg C

AN 143:153656 CASREACT

TI Method for preparing  $\beta$ -thymidine

IN Bao, Jianshao

PA Lianhua Sci-Tech Co., Ltd., Zhejiang, Peop. Rep. China

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp. given

CODEN: CNXXEV

DT Patent

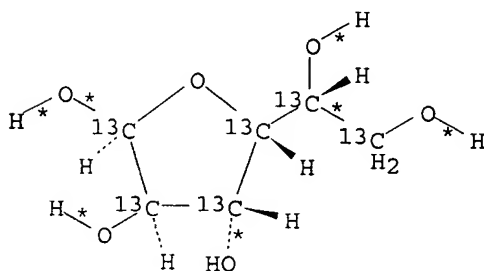
LA Chinese

FAN.CNT 1

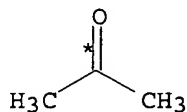
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1539845	A	20041027	CN 2003-116591	20030424
PRAI	CN 2003-116591		20030424		
AB	A multistep process for preparing $\beta$ -thymidine is disclosed.				

L3 ANSWER 2 OF 2 CASREACT COPYRIGHT 2006 ACS on STN

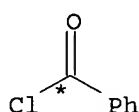
RX(129) OF 192 COMPOSED OF RX(1), RX(5), RX(6), RX(7), RX(8), RX(2), RX(9)  
RX(129) A + 2 B + 2 AD + 2 V + H ==> AH



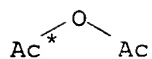
A



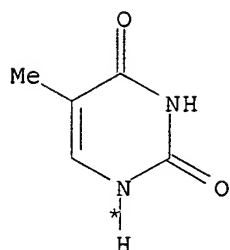
2 B



2 AD

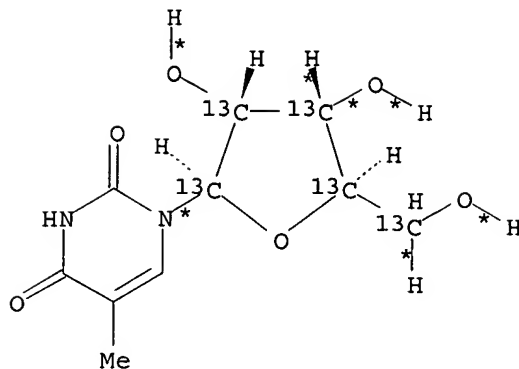


2 V



H

7  
STEPS  
→



AH  
YIELD 84%

RX(1) RCT A 117973-20-3, B 67-64-1

STAGE(1)

RGT D 7664-38-2 H3PO4, E 7646-85-7 ZnCl2

SOL 7732-18-5 Water, 67-64-1 Me2CO

CON 30 hours, room temperature

STAGE(2)

RGT F 1310-73-2 NaOH

SOL 7732-18-5 Water

PRO C 126590-65-6

NTE stereoselective

RX(5) RCT C 126590-65-6

STAGE(1)

RGT V 108-24-7 Ac2O, W 20039-37-6 PDC

SOL 75-09-2 CH2Cl2

CON 1.5 hours, reflux

STAGE(2)

SOL 141-78-6 AcOEt

PRO U 503173-73-7

NTE stereoselective

RX(6) RCT U 503173-73-7

STAGE(1)

RGT Z 10450-60-9 H5IO6

SOL 141-78-6 AcOEt

CON 2 hours, room temperature

STAGE(2)

RGT AA 16940-66-2 NaBH4

SOL 64-17-5 EtOH

CON 30 minutes, room temperature

STAGE(3)  
RGT AB 64-19-7 AcOH  
SOL 7732-18-5 Water  
CON neutralized

STAGE(4)  
SOL 141-78-6 AcOEt

PRO Y 238096-51-0  
NTE stereoselective

RX(7) RCT Y 238096-51-0, AD 98-88-4

STAGE(1)  
SOL 110-86-1 Pyridine  
CON SUBSTAGE(1) 0 deg C  
SUBSTAGE(2) 3 hours, room temperature

STAGE(2)  
SOL 141-78-6 AcOEt

STAGE(3)  
RGT M 144-55-8 NaHCO3  
SOL 7732-18-5 Water

PRO AE 503173-74-8

RX(8) RCT AE 503173-74-8, V 108-24-7

STAGE(1)  
SOL 64-19-7 AcOH  
CON overnight, room temperature

STAGE(2)  
SOL 7732-18-5 Water  
CON 0 deg C

STAGE(3)  
SOL 67-66-3 CHCl3

STAGE(4)  
RGT M 144-55-8 NaHCO3  
SOL 7732-18-5 Water

PRO I 503173-75-9  
NTE stereoselective

RX(2) RCT H 65-71-4

STAGE(1)  
RGT K 7783-20-2 (NH4)2SO4  
SOL 999-97-3 (Me3Si)2NH  
CON reflux

STAGE(2)  
RCT I 503173-75-9  
SOL 107-06-2 ClCH2CH2Cl

STAGE(3)  
RGT L 27607-77-8 Me3SiSO3CF3  
CON 3.5 hours, reflux

STAGE(4)

RGT M 144-55-8 NaHCO3  
SOL 7732-18-5 Water

STAGE(5)  
SOL 75-09-2 CH2Cl2

STAGE(6)  
RGT M 144-55-8 NaHCO3  
SOL 7732-18-5 Water

PRO J 503173-76-0  
NTE stereoselective

RX(9) RCT J 503173-76-0

STAGE(1)  
RGT AI 7664-41-7 NH3  
SOL 67-56-1 MeOH  
CON SUBSTAGE(1) 48 hours, room temperature  
SUBSTAGE(2) 5 hours, room temperature

STAGE(2)  
SOL 60-29-7 Et2O

PRO AH 159496-17-0

AN 138:271904 CASREACT  
TI Chemical synthesis of 13C labeled anti-HIV nucleosides as mass-internal standards  
AU Saito, Yoshio; Zevaco, Thomas A.; Agrofoglio, Luigi A.  
CS Institut de Chimie Organique et Analytique, Universite d'Orleans, CNRS UMR 6005, Orleans, 45100, Fr.  
SO Tetrahedron (2002), 58(47), 9593-9603  
CODEN: TETRAB; ISSN: 0040-4020  
PB Elsevier Science Ltd.  
DT Journal  
LA English  
AB Synthesis of [13C5]-labeled anti-HIV nucleosides, e.g. d4T, ddI, ddA, is described. The methodol. used has been optimized due to the very high cost of the starting compound. The key step of this approach was the stereoselective dehomologation of 1,2:5,6-di-O-isopropylidene-3-oxo- $\alpha$ -D-glucofuranose with periodic acid and sodium borohydride, which gave optically pure ribose derivative as the exclusive product. Nucleoside derivs. were obtained from ribosylation of 1,2,-di-O-acetyl-3,5-di-O-benzyl- $\beta$ -D-ribofuranose with persilylated nucleobases under Vorbruggen conditions. Deoxygenation of intermediates under Corey-Winter conditions afforded the desired labeled nucleoside analogs.  
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d hist

(FILE 'HOME' ENTERED AT 11:07:45 ON 21 SEP 2006)

FILE 'CASREACT' ENTERED AT 11:08:07 ON 21 SEP 2006

L1 STRUCTURE UPLOADED  
L2 0 S L1 SSS SAM  
L3 2 S L1 SSS FULL  
L4 0 S L3 AND (DEOXYTHYMIDINE OR DEOXYURIDINE)